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(54) Title: NOVEL GUANIDINYL DERIVATIVES

(57) Abstract: A variety of small, guanidino group-containing molecules capable of acting as MC4-R agonists are provided. The compounds have various structures provided herein. The compounds are useful in treating MC4-R mediated diseases and may be formulated into pharmaceutical formulations and compositions.

NOVEL GUANIDINYL DERIVATIVES

FIELD OF THE INVENTION

This invention relates to melanocortin-4 receptor (MC4-R) agonists and methods of their preparation. The invention also relates to methods of treating melanocortin-4 receptor-mediated diseases, such as obesity or diabetes, by activating the melanocortin-4 receptor with compounds provided herein.

BACKGROUND OF THE INVENTION

Melanocortins are peptide products resulting from post-translational processing of pro-opiomelanocortin and are known to have a broad array of physiological activities. The natural melanocortins include the different types of melanocyte stimulating hormone (α-MSH, β-MSH, γ-MSH) and ACTH. Of these, α-MSH and ACTH are considered to be the main endogenous melanocortins.

The melanocortins mediate their effects through melanocortin receptors (MC-Rs), a subfamily of G-protein coupled receptors. There are at least five different receptor subtypes (MC1-R to MC5-R). MC1-R mediates pigmentation of the hair and skin. MC2-R mediates the effects of ACTH on steroidogenesis in the adrenal gland. MC3-R and MC4-R are predominantly expressed in the brain. MC5-R is considered to have a role in the exocrine gland system.

The melanocortin-4 receptor (MC4-R) is a seven-transmembrane receptor. MC4-R may participate in modulating the flow of visual and sensory information, coordinate aspects of somatomotor control, and/or participate in the modulation of autonomic outflow to the heart. K. G.

Mountjoy *et al.*, *Science, 257*:1248-125 (1992). Significantly, inactivation of this receptor by gene targeting has resulted in mice that develop a maturity onset obesity syndrome associated with hyperphagia, hyperinsulinemia, and hyperglycemia. D. Husznar *et al.*, *Cell, 88(1)*: 131-41 (1997). MC4-R has also been implicated in other disease states including erectile disorders, cardiovascular disorders, neuronal injuries or disorders, inflammation, fever, cognitive disorders, and sexual behavior disorders. M. E. Hadley and C. Haskell-Luevano, The proopiomelanocortin system, *Ann. N. Y. Acad. Sci.*, *885*:1 (1999).

Furthermore, observations in connection with endogenous MCx-R antagonists indicate that MC4-R is implicated in endogenous energy regulation. For example, an agouti protein is normally expressed in the skin and is an antagonist of the cutaneous MC receptor involved in pigmentation, MC1-R. M. M. Ollmann *et al.*, *Science, 278*:135-138 (1997). However, overexpression of agouti protein in mice leads to a yellow coat color due to antagonism of MC1-R and increased food intake and body weight due to antagonism of MC4-R. L. L. Kiefer *et al.*, *Biochemistry, 36*: 2084-2090 (1997); D. S. Lu *et al.*, *Nature,* 371:799-802 (1994). Agouti related protein (AGRP), an agouti protein homologue, antagonizes MC4-R but not MC1-R. T. M. Fong *et al.*, *Biochem. Biophys. Res. Commun. 237*:629-631 (1997). Administration of AGRP in mice increases food intake and causes obesity but does not alter pigmentation. M. Rossi *et al.*, *Endocrinology, 139*:4428-4431 (1998). Together, this research indicates that MC4-R participates in energy regulation, and therefore, identifies this receptor as a target for a rational drug design for the treatment of obesity.

In connection with MC4-R and its uncovered role in the etiology of obesity and food intake, the prior art includes reports of compounds and compositions that act as agonists or antagonists of MC4-R. As examples, U.S. Patent No. 6,060,589 describes polypeptides that are capable of modulating signaling activity of melanocortin receptors. Also, U.S. Patent

Nos. 6,054,556 and 5,731,408 describe families of agonists and antagonists for MC4-R receptors that are lactam heptapeptides having a cyclic structure. WO 01/10842 discloses MC4-R binding compounds having a multitude of structures and methods of using such compounds to treat MC4-R associated disorders. Some of the compounds described include amidino- and guanidino-containing arenes and heteroarenes.

Various other classes of compounds have been disclosed as having MC4-R agonist activity. For example, WO 01/70708 and WO 00/74679 disclose MC4-R agonists that are piperidine compounds and derivatives, while WO 01/70337 and WO 99/64002 disclose MC-R agonists that are spiropiperidine derivatives. Other known melanocortin receptor agonists include aromatic amine compounds containing amino acid residues, particularly tryptophan residues, as disclosed in WO 01/55106. Similar agonists are disclosed in WO 01/055107 which comprise aromatic amine compounds containing tertiary amide or tertiary amine groups. Finally, WO 01/055109 discloses melanocortin receptor agonists comprising aromatic amines which are generally bisamides separated by a nitrogen-containing alkyl linker.

Guanidine-containing compounds having a variety of biological activities are also known in the prior art. For example, U.S. patent No. 4,732,916 issued to Satoh *et al.* discloses guanidine compounds useful as antiulcer agents; U.S. Patent No. 4,874,864, U.S. Patent No. 4,949,891, and U.S. Patent No. 4,948,901 issued to Schnur *et al.* and EP 0343 894 disclose guanidino compounds useful as protease inhibitors and as anti-plasmin and anti-thrombin agents; and U.S. Patent No. 5,352,704 issued to Okuyama *et al.* discloses a guanidino compound useful as an antiviral agent. Guanidine-containing compounds are also disclosed in other references. For example, U.S. Patent No. 6,030,985 issued to Gentile *et al.* discloses guanidine compounds useful for treating and preventing conditions in which inhibition of nitric oxide synthetase is beneficial such as stroke, schizophrenia, anxiety,

and pain. U.S. Patent No. 5,952,381 issued to Chen *et al.* discloses certain guanidine compounds for use in selectively inhibiting or antagonizing $\alpha_v\beta_3$ integrins.

Various 5-, 6-, and 7- membered fully saturated 1-azacarbocyclic-2-ylidene derivatives of guanidine are disclosed as having anti-secretory and hypoglycemic activities by U.S. Patent No. 4,211,867 issued to Rasmussen. Such compounds are also taught as useful for the treatment of cardiovascular disease. Other guanidine derivatives are disclosed by U.S. Patent No. 5,885,985 issued to Macdonald *et al.* as useful in therapy to treat inflammation.

Nevertheless, there remains a need for potent and specific agonists of MC4-R that are low molecular weight small molecules. Methods of treating a melanocortin-4 receptor mediated disease, such as obesity, with such small molecules and pharmaceutical formulations containing such small molecules, are also particularly desirable.

SUMMARY OF THE INVENTION

The instant invention provides potent and specific agonists of MC4-R that are low molecular weight small molecules. Thus, there has been provided, in accordance with various aspects of the invention, compounds of formula IA, IIIA, IIIB, IVA, IVB, VA, VIA, VIIA, VIIB, VIIIA, VIIIB, and IXA as described herein. Also provided are prodrugs of the compounds, pharmaceutically acceptable salts of the compounds, stereoisomers of the compounds, tautomers of the compounds, hydrates of the compounds, hydrides of the compounds, and solvates of the compounds.

One aspect of the invention provides a composition such as a pharmaceutical formulation or medicament that includes at least one of the

compounds represented by the above-listed formulas and a pharmaceutically acceptable carrier.

Another aspect of the invention provides a method of treating an MC4-R mediated disease, comprising administering to a subject in need thereof, at least one of the compounds represented by the above-listed formulas. The method may be used to treat diseases which include obesity or type II diabetes.

Other objects, features, and advantages of the present invention will become apparent from the following detailed description. It should be understood, however, that the detailed description and the specific examples, while indicating preferred embodiments of the invention, are given by way of illustration only, since various changes and modifications within the spirit and scope of the invention will become apparent to those skilled in the art from this detailed description.

DETAILED DESCRIPTION OF THE PREFERRED EMBODIMENT

The instant invention relates to novel classes of small molecule melanocortin-4 receptor (MC4-R) agonists. These compounds can be formulated into compositions and are useful in activating MC4-R, or in the treatment of MC4-R-mediated diseases, such as obesity, type II diabetes, erectile dysfunction, polycystic ovary disease, complications resulting from or associated with obesity and diabetes, and Syndrome X.

The following definitions are used throughout this specification.

Alkyl groups include straight chain and branched alkyl groups having 1 to about 8 carbon atoms. Examples of straight chain alkyl groups include methyl, ethyl, propyl, butyl, pentyl, hexyl, heptyl, and octyl groups. Examples of branched alkyl groups, include, but not limited to, isopropyl, sec-butyl, t-butyl, and isopentyl groups. Representative substituted alkyl groups

may be substituted one or more times with, for example, amino, thio, alkoxy, or halo groups such as F, Cl, Br, and I groups.

Cycloalkyl groups are cyclic alkyl groups such as, but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, and cyclooctyl groups. Cycloalkyl groups also includes rings that are substituted with straight or branched chain alkyl groups as defined above, and further include cycloalkyl groups that are substituted with other rings including fused rings such as, but not limited to, decalinyl, tetrahydronaphthyl, and indanyl. Cycloalkyl groups also include polycyclic cycloalkyl groups such as, but not limited to, norbornyl, adamantyl, bornyl, camphenyl, isocamphenyl, and carenyl groups. Representative substituted cycloalkyl groups may be mono-substituted or substituted more than once, such as, but not limited to, 2,2-, 2,3-, 2,4- 2,5- or 2,6-disubstituted cyclohexyl groups or mono-, di- or tri-substituted norbornyl or cycloheptyl groups, which may be substituted with, for example, alkyl, alkoxy, amino, thio, or halo groups.

Alkenyl groups are straight chain, branched or cyclic lower alkyl groups having 2 to about 8 carbon atoms, and further including at least one double bond, as exemplified, for instance, by vinyl, propenyl, 2-butenyl, 3-butenyl, isobutenyl, cyclohexenyl, cyclopentenyl, cyclohexadienyl, butadienyl, pentadienyl, and hexadienyl groups among others.

Alkynyl groups are straight chain or branched lower alkyl groups having 2 to about 8 carbon atoms, and further including at least one triple bond, as exemplified by groups, including, but not limited to, ethynyl, propynyl, and butynyl groups.

Aryl groups are cyclic aromatic hydrocarbons that do not contain heteroatoms. Thus aryl groups include, but are not limited to, phenyl, azulene, heptalene, biphenylene, indacene, fluorene, phenanthrene, triphenylene, pyrene, naphthacene, chrysene, biphenyl, anthracenyl, and naphthenyl groups. Although the phrase "aryl groups" includes groups

containing fused rings, such as fused aromatic-aliphatic ring systems, it does not include aryl groups that have other groups, such as alkyl or halo groups, bonded to one of the ring members. Rather, groups such as tolyl are referred to as substituted aryl groups. The phrase "aryl groups" includes groups bonded to one or more carbon atom(s), and/or nitrogen atom(s), in the compounds of formulas I and II. Representative substituted aryl groups may be mono-substituted or substituted more than once, such as, but not limited to, 2-, 3-, 4-, 5-, or 6-substituted phenyl or benzyl groups, which may be substituted with groups including, but not limited to, amino, alkoxy, alkyl, or halo.

Cycloalkylalkyl groups are alkyl groups as defined above in which a hydrogen or carbon bond of an alkyl group is replaced with a bond to a cycloalkyl group as defined above.

Arylalkyl groups are alkyl groups as defined above in which a hydrogen or carbon bond of an alkyl group is replaced with a bond to an aryl group as defined above.

Heterocyclyl groups are nonaromatic ring compounds containing 3 or more ring members, of which, one or more is a heteroatom such as, but not limited to, N, O, and S. The phrase "heterocyclyl group" includes fused ring species including those comprising fused aromatic and nonaromatic groups. The phrase also includes polycyclic ring systems containing a heteroatom such as, but not limited to quinuclidyl. However, the phrase does not include heterocyclyl groups that have other groups, such as alkyl or halo groups, bonded to one of the ring members. Rather, these are referred to as "substituted heterocyclyl groups". Heterocyclyl groups include, but are not limited to, piperazino, morpholino, thiomorpholino, pyrrolidino, piperidino and homopiperazino groups. Representative substituted heterocyclyl groups may be mono-substituted or substituted more than once, such as, but not limited to morpholino or piperazino groups, which are 2-, 3-, 4-, 5-, or 6-substituted, or

disubstituted with groups including, but not limited to, amino, alkoxy, alkyl, or halo.

Heteroaryl groups are aromatic ring compounds containing 3 or more ring members, of which, one or more is a heteroatom such as, but not limited to, N, O, and S. Heteroaryl groups include, but are not limited to, groups such as furan, thiophene, pyrrole, isopyrrole, diazole, imidazole, isoimidazole, triazole, dithiole, oxathiole, isoxazole, oxazole, thiazole, isothiazole, oxadiazole, oxatriazole, dioxazole, oxathiazole, pyran, dioxin, pyridine, pyrimidine, pyridazine, pyrazine, triazine, oxazine, isoxazine, oxathiazine, azepin, oxepin, thiepin, diazepine, benzofuran, and isobenzofuran. Although the phrase "heteroaryl groups" includes fused ring compounds, the phrase does not include heteroaryl groups that have other groups bonded to one of the ring members, such as alkyl groups. Rather, heteroaryl groups with such substitution are referred to as "substituted heteroaryl groups". Representative substituted heteroaryl groups may be substituted one or more times with groups including, but not limited to, amino, alkoxy, alkyl, or halo.

Heterocyclylalkyl groups are alkyl groups as defined above in which a hydrogen or carbon bond of an alkyl group is replaced with a bond to a heterocyclyl group as defined above.

Heteroarylalkyl groups are alkyl groups as defined above in which a hydrogen or carbon bond of an alkyl group is replaced with a bond to a heteroaryl group as defined above.

Aminocarbonyl groups are groups of the formula RR'NC(O)-, wherein R or R' may be the same or different, and each is independently selected from H, or substituted or unsubstituted alkyl, cycloalkyl, aryl, heterocyclyl or heteroaryl groups, as defined above.

In general, "substituted" refers to a group as defined above in which one or more bonds to a hydrogen atom contained therein are replaced

by a bond to non-hydrogen or non-carbon atoms such as, but not limited to, a halogen atom such as F, Cl, Br, and I; an oxygen atom in groups such as hydroxyl groups, alkoxy groups, aryloxy groups, and ester groups; a sulfur atom in groups such as thiol groups, alkyl and aryl sulfide groups, sulfone groups, sulfonyl groups, and sulfoxide groups; a nitrogen atom in groups such as amines, amides, alkylamines, dialkylamines, arylamines, alkylarylamines, diarylamines, N-oxides, imides, and enamines; a silicon atom in groups such as in trialkylsilyl groups, dialkylarylsilyl groups, alkyldiarylsilyl groups, and triarylsilyl groups; and other heteroatoms in various other groups. Substituted alkyl groups and also substituted cycloalkyl groups also include groups in which one or more bonds to a carbon(s) or hydrogen(s) atom is replaced by a bond to a heteroatom such as oxygen in carbonyl, carboxyl, and ester groups; nitrogen in groups such as imines, oximes, hydrazones, and nitriles.

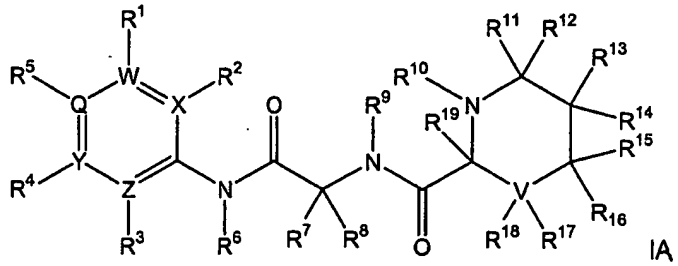
Substituted cycloalkyl, substituted aryl, substituted heterocyclyl and substituted heteroaryl also include rings and fused ring systems in which a bond to a hydrogen atom is replaced with a bond to a carbon atom. Therefore, substituted cycloalkyl, substituted aryl, substituted heterocyclyl and substituted heteroaryl groups may be substituted with alkyl groups as defined above.

Pharmaceutically acceptable salts include a salt with an inorganic base, organic base, inorganic acid, organic acid, or basic or acidic amino acid. As salts of inorganic bases, the invention includes, for example, alkali metals such as sodium or potassium, alkali earth metals such as calcium and magnesium or aluminum, and ammonia. As salts of organic bases, the invention includes, for example, trimethylamine, triethylamine, pyridine, picoline, ethanolamine, diethanolamine, triethanolamine. As salts of inorganic acids, the instant invention includes, for example, hydrochloric acid, hydroboric acid, nitric acid, sulfuric acid, and phosphoric acid. As salts of organic acids, the instant invention includes, for example, formic acid, acetic acid, trifluoroacetic acid, fumaric acid, oxalic acid, tartaric acid, maleic acid,

citric acid, succinic acid, malic acid, methanesulfonic acid, benzenesulfonic acid, and p-toluenesulfonic acid. As salts of basic amino acids, the instant invention includes, for example, arginine, lysine and ornithine. Acidic amino acids include, for example, aspartic acid and glutamic acid.

Prodrugs, as used in the context of the instant invention, includes those derivatives of the instant compounds which undergo in vivo metabolic biotransformation, by enzymatic or nonenzymatic processes, such as hydrolysis, to form a compound of the invention. Prodrugs can be employed to improve pharmaceutical or biological properties, as for example solubility, melting point, stability and related physicochemical properties, absorption, pharmacodynamics and other delivery-related properties.

The instant invention provides potent and specific agonists of MC4-R that are low molecular weight small molecules. In accordance with one aspect of the invention, the invention provides a first group of compounds of formula IA as shown below.



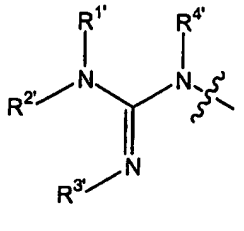
IA

Compounds of the invention further include prodrugs of the first group of compounds of formula IA, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

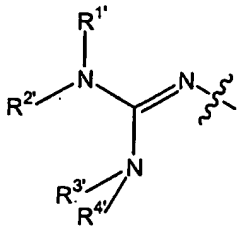
In the first group of compounds of formula IA, V is selected from a carbon atom or is absent from the ring such that the carbon atom bonded to R^{16} is bonded to the carbon atom bonded to R^{19} forming a 5-membered ring.

In the first group of compounds of formula IA, Q, W, X, Y, and Z are independently selected from the group consisting of carbon atoms and nitrogen atoms. In some embodiments of the compounds of formula IA, at least one of Q, W, X, Y, and Z is a nitrogen atom. In other embodiments of the compounds of formula IA, Q, W, X, Y, and Z are all carbon atoms. In other embodiments of the compounds of formula IA, Q is a nitrogen atom and W, X, Y, and Z are all carbon atoms. In other embodiments of the compounds of formula IA, W is a nitrogen atom and Q, X, Y, and Z are all carbon atoms. In other embodiments of the compounds of formula IA, X is a nitrogen atom and Q, W, Y, and Z are all carbon atoms. In still other embodiments of the compounds of formula IA, Y is a nitrogen atom and Q, W, X, and Z are all carbon atoms. In still other embodiments of the compounds of formula IA, Z is a nitrogen atom and Q, W, X, and Y are all carbon atoms.

In the first group of compounds of formula IA, R^1, R^2, R^3, R^4, and R^5 may be the same or different, and each may be independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB:



IIA



IIB

In the first group of compounds of formula IA, R^1 may be absent if W is a nitrogen atom, R^2 may be absent if X is a nitrogen atom, R^3 may be absent if Z is a nitrogen atom, R^4 may be absent if Y is a nitrogen atom, and

R^5 may be absent if Q is a nitrogen atom. In the first group of compounds of formula IA, at least one of R^1, R^2, R^3, R^4, or R^5 is a group having the formula IIA or IIB. In some embodiments of the compounds of formula IA, Q is a carbon atom and R^5 is a group of formula IIA or IIB.

In the first group of compounds of formula IA, $R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups, and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In the first group of compounds of formula IA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, may form a substituted or unsubstituted heterocyclyl or heteroaryl group. In some embodiments of the compounds of formula IA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In other embodiments of the compounds of formula IA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In still other embodiments of the compounds of formula IA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In various other embodiments of the compounds of formula IA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In yet other embodiments of the compounds of formula IA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl group. In still other embodiments of the compounds of formula IA, $R^{1'}$ and $R^{2'}$, together with

the nitrogen to which they are bound, form a substituted or unsubstituted saturated heterocyclyl group comprising at least one heteroatom selected from the group consisting of O, S, and N, in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are bound. In another embodiment of the compounds of formula IA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one nitrogen heteroatom in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are both bound. In still another embodiment of the compounds of formula IA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one oxygen heteroatom. In still other embodiments of the compounds of formula IA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted piperazino, morpholino, pyrrolidino, piperidino, homopiperazino, or azepino group. In still further embodiments of the compounds of formula IA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted piperazino group optionally substituted by one or two alkyl groups, for example, one or two methyl groups.

In the first group of compounds of formula IA, $R^{3'}$ is selected from the group consisting of H, and substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In some embodiments of the first group of compounds of formula IA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In one embodiment of the compounds of formula IA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups. In still other embodiments of the compounds of formula IA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-alkylcyclohexyl, 2,2-dialkylcyclohexyl, 2,3-dialkylcyclohexyl, 2,4-dialkylcyclohexyl, 2,5-dialkylcyclohexyl, 2,6-dialkylcyclohexyl, 3,4-dialkylcyclohexyl, 3-

alkylcyclohexyl, 4-alkylcyclohexyl, 3,3,5-trialkylcyclohexyl, cyclohexylmethyl, 2-aminocyclohexyl, 3-aminocyclohexyl, 4-aminocyclohexyl, 2,3-diaminocyclohexyl, 2,4-diaminocyclohexyl, 3,4-diaminocyclohexyl, 2,5-diaminocyclohexyl, 2,6-diaminocyclohexyl, 2,2-diaminocyclohexyl, 2-alkoxycyclohexyl, 3-alkoxycyclohexyl, 4-alkoxycyclohexyl, 2,3-dialkoxycyclohexyl, 2,4-dialkoxycyclohexyl, 3,4-dialkoxycyclohexyl, 2,5-dialkoxycyclohexyl, 2,6-dialkoxycyclohexyl, 2,2-dialkoxycyclohexyl, 2-alkylthiocyclohexyl, 3-alkylthiocyclohexyl, 4-alkylthiocyclohexyl, 2,3-dialkylthiocyclohexyl, 2,4-dialkylthiocyclohexyl, 3,4-dialkylthiocyclohexyl, 2,5-dialkylthiocyclohexyl, 2,6-dialkylthiocyclohexyl, 2,2-dialkylthiocyclohexyl, cyclopentyl, cycloheptyl, cyclohexenyl, isopropyl, n-butyl, cyclooctyl, 2-arylcyclohexyl, 2-phenylcyclohexyl, 2-arylalkylcyclohexyl, 2-benzylcyclohexyl, 4-phenylcyclohexyl, adamantyl, isocamphenyl, carenyl, 7,7-dialkylnorbornyl, bornyl, norbornyl, and decalinyl groups. In still other embodiments of the compounds of formula IA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-methylcyclohexyl, 2,2-dimethylcyclohexyl, 2,3-dimethylcyclohexyl, 2,4-dimethylcyclohexyl, 2,5-dimethylcyclohexyl, 2,6-dimethylcyclohexyl, 3,4-dimethylcyclohexyl, 3-methylcyclohexyl, 4-methylcyclohexyl, cyclohexenyl, 3,3,5-trimethylcyclohexyl, 4-*t*-butylcyclohexyl, 2-methylcycloheptyl, cyclohexylmethyl, isopinocampheyl, 7,7-dimethylnorbornyl, 4-isopropylcyclohexyl, and 3-methylcycloheptyl groups.

In the first group of compounds of formula IA, $R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups. In various embodiments of the compounds of formula IA, $R^{4'}$ is H.

In the first group of compounds of formula IA, R^6 is selected from the group consisting of H, substituted and unsubstituted alkyl groups,

substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted alkynyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the compounds of formula IA, R^6 is H.

In the first group of compounds of formula IA, R^7 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In various embodiments of the compounds of formula IA, R^7 is H.

In the first group of compounds of formula IA, R^8 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups including arylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heteroarylalkyl groups including heteroarylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In one embodiment of the compounds of formula IA, R^8 is selected from the group consisting of substituted and unsubstituted arylalkyl groups, and substituted and unsubstituted heteroarylalkyl groups. In some embodiments of compounds of formula IA, R^8 is a substituted or unsubstituted phenylalkyl group, a substituted or unsubstituted pyridylalkyl group, or a substituted or

unsubstituted indolylalkyl group. In other embodiments of the compounds of formula IA, R^8 is a substituted or unsubstituted phenylalkyl group or a substituted or unsubstituted indolylalkyl group. In these embodiments of the compounds of formula IA, R^8 may be a 2,4-disubstituted phenylmethyl group or an indolylmethyl group. In certain embodiments where R^8 is a substituted arylalkyl or heteroarylalkyl, such as a phenylalkyl or pyridylalkyl, one substituent on the aryl or heteroaryl ring is a group having the formula IIA or IIB, wherein $R^{1'}$, $R^{2'}$, $R^{3'}$, and $R^{4'}$ have the characteristics described above. In some embodiments of the compounds of formula IA, R^8 is selected from the group consisting of 2,4-dihalophenylmethyl, and 2,4-dialkylphenylmethyl groups. In still other embodiments of the compounds of formula IA, R^8 is selected from the group consisting of phenylmethyl, 2,4-dichlorophenylmethyl, 4-methoxyphenylmethyl, 4-bromophenylmethyl, 4-methylphenylmethyl, 4-chlorophenylmethyl, 4-ethylphenylmethyl, cyclohexenylmethyl, 2-methoxyphenylmethyl, 2-chlorophenylmethyl, 2-fluorophenylmethyl, 3-methoxyphenylmethyl, 3-fluorophenylmethyl, thienylmethyl, indolylmethyl, 4-hydroxyphenylmethyl, 3,4-dimethoxyphenylmethyl, 2-chloro-4-iodophenylmethyl, 2-fluoro-4-methylphenylmethyl, 2-fluoro-4-bromophenylmethyl, 2-fluoro-4-methoxyphenylmethyl, 2-trifluoromethyl-4-fluorophenylmethyl, 2,4-difluorophenylmethyl, 2,4-dimethylphenylmethyl, and 2,4-dimethoxyphenylmethyl groups.

In the first group of compounds of formula IA, R^9 is selected from the group consisting H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the compounds of formula IA, R^9 is H.

In the first group of compounds of formula IA, R^{10} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the compounds of formula IA, R^{10} is H.

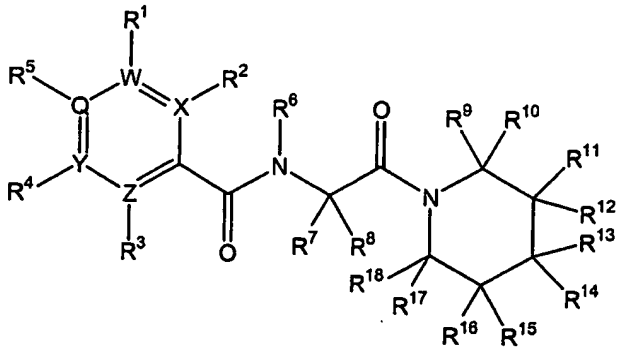
In the first group of compounds of formula IA, R^{11}, R^{12}, R^{13}, R^{16}, R^{17}, and R^{18} are selected from the group consisting of H, Cl, F, Br, I, -CN, -OH, $-NO_2$, substituted and unsubstituted aryl, substituted and unsubstituted –C(=O)-alkyl groups, substituted and unsubstituted alkylcarbonylalkyl groups, substituted and unsubstituted alkoxy groups, substituted and unsubstituted aryloxy groups, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted $–NH_2$ groups, substituted and unsubstituted N(H)(alkyl) groups, substituted and unsubstituted –NH(aryl) groups, substituted and unsubstituted –NH(heterocyclyl) groups, substituted and unsubstituted –N(alkyl)(aryl) groups, substituted and unsubstituted –N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -N(aryl)(heterocyclyl) groups, substituted and unsubstituted –$N(alkyl)_2$ groups, substituted and unsubstituted –$N(aryl)_2$ groups, substituted and unsubstituted -$N(heterocyclyl)_2$ groups, -C(=O)-OH groups, substituted and unsubstituted -C(=O)-O(alkyl) groups, substituted and unsubstituted amide groups, substituted and unsubstituted sulfone groups, and substituted and unsubstituted sulfonamide groups. In the first group of compounds of formula IA, R^{13} and R^{16} together can represent a double bond between the carbon atoms bonded to R^{13} and R^{16}.

In the first group of compounds of formula IA, R^{14} and R^{15} are selected from the group consisting of H, and substituted and unsubstituted alkyl groups. In the first group of compounds of formula IA, R^{14} and R^{15} together with the two carbon atoms to which they are bound form a substituted or unsubstituted, saturated or unsaturated, carbocyclic or heterocyclic ring comprising 5, 6, or 7 members. In one embodiment of the compounds of formula IA, R^{14} and R^{15}, together with the two carbon atoms to which they are bound, form a substituted or unsubstituted carbocyclic ring comprising 6 members.

In the first group of compounds of formula IA where V is absent, R^{17} and R^{18} are also absent.

In the first group of compounds of formula IA, R^{19} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In various embodiments of the compounds of formula IA, R^{19} is H.

In accordance with another aspect of the invention, the invention provides a second group of compounds of formula IIIA, as shown below.



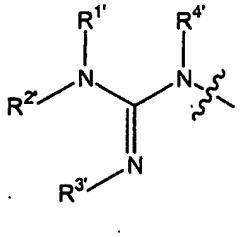
IIIA

Compounds of the invention further include prodrugs of the second group of compounds of formula IIIA, pharmaceutically acceptable

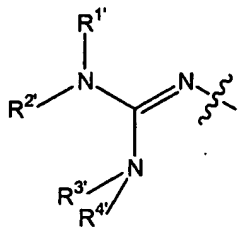
salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

In the second group of compounds of formula IIIA, Q, W, X, Y, and Z are independently selected from the group consisting of carbon atoms and nitrogen atoms. In some embodiments of the compounds of formula IIIA, at least one of Q, W, X, Y, and Z is a nitrogen atom. In other embodiments of the compounds of formula IIIA, Q, W, X, Y, and Z are all carbon atoms. In other embodiments of the compounds of formula IIIA, Q is a nitrogen atom and W, X, Y, and Z are all carbon atoms. In other embodiments of the compounds of formula IIIA, W is a nitrogen atom and Q, X, Y, and Z are all carbon atoms. In other embodiments of the compounds of formula IIIA, X is a nitrogen atom and Q, W, Y, and Z are all carbon atoms. In still other embodiments of the compounds of formula IIIA, Y is a nitrogen atom and Q, W, X, and Z are all carbon atoms. In still other embodiments of the compounds of formula IIIA, Z is a nitrogen atom and Q, W, X, and Y are all carbon atoms.

In the second group of compounds of formula IIIA , R^1, R^2, R^3, R^4, and R^5 may be the same or different, and each may be independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB.



IIA



IIB

In the second group of compounds of formula IIIA , R^1 may be absent if W is a nitrogen atom, R^2 may be absent if X is a nitrogen atom, R^3 may be absent if Z is a nitrogen atom, R^4 may be absent if Y is a nitrogen atom, and R^5 may be absent if Q is a nitrogen atom. In the second group of compounds of formula IIIA, one of R^1, R^2, R^3, R^4, or R^5 is a group having the formula IIA or IIB. In some embodiments of the compounds of formula IIIA, Q is a carbon atom and R^5 is a group of formula IIA or IIB.

In the second group of compounds of formula IIIA, $R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups, and $R^{2'}$ is be selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In the second group of compounds having the formula IIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, may alternatively form a substituted or unsubstituted heterocyclyl or heteroaryl group. In some embodiments of the second group of compounds of formula IIIA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In other embodiments of the second group of compounds of formula IIIA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In still other embodiments of the second group of compounds of formula IIIA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In various other embodiments of the second group of compounds of formula IIIA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-

fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In still other embodiments of the second group of compounds of formula IIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl group. In still other embodiments of the second group of compounds of formula IIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound form a substituted or unsubstituted saturated heterocyclyl group comprising at least one heteroatom selected from the group consisting of O, S, and N, in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are bound. In still other embodiments of the second group of compounds of formula IIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one nitrogen heteroatom in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are both bound. In still other embodiments of the second group of compounds of formula IIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one oxygen heteroatom. Representative examples of the above-described heterocyclyl embodiments include those for which $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted piperazino, morpholino, pyrrolidino, piperidino, homopiperazino, or azepino group. This includes compounds wherein $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted piperazino group optionally substituted by one or two alkyl groups, for example, one or two methyl groups.

In the second group of compounds of formula IIIA, $R^{3'}$ is selected from the group consisting of H, substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In various embodiments of the second group of compounds of formula IIIA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups. In other embodiments of the second group of compounds of formula IIIA, $R^{3'}$ is selected from the group

consisting of substituted and unsubstituted cyclohexyl, 2-alkylcyclohexyl, 2,2-dialkylcyclohexyl, 2,3-dialkylcyclohexyl, 2,4-dialkylcyclohexyl, 2,5-dialkylcyclohexyl, 2,6-dialkylcyclohexyl, 3,4-dialkylcyclohexyl, 3-alkylcyclohexyl, 4-alkylcyclohexyl, 3,3,5-trialkylcyclohexyl, cyclohexylmethyl, 2-aminocyclohexyl, 3-aminocyclohexyl, 4-aminocyclohexyl, 2,3-diaminocyclohexyl, 2,4-diaminocyclohexyl, 3,4-diaminocyclohexyl, 2,5-diaminocyclohexyl, 2,6-diaminocyclohexyl, 2,2-diaminocyclohexyl, 2-alkoxycyclohexyl, 3-alkoxycyclohexyl, 4-alkoxycyclohexyl, 2,3-dialkoxycyclohexyl, 2,4-dialkoxycyclohexyl, 3,4-dialkoxycyclohexyl, 2,5-dialkoxycyclohexyl, 2,6-dialkoxycyclohexyl, 2,2-dialkoxycyclohexyl, 2-alkylthiocyclohexyl, 3-alkylthiocyclohexyl, 4-alkylthiocyclohexyl, 2,3-dialkylthiocyclohexyl, 2,4-dialkylthiocyclohexyl, 3,4-dialkylthiocyclohexyl, 2,5-dialkylthiocyclohexyl, 2,6-dialkylthiocyclohexyl, 2,2-dialkylthiocyclohexyl, cyclopentyl, cycloheptyl, cyclohexenyl, isopropyl, n-butyl, cyclooctyl, 2-arylcyclohexyl, 2-phenylcyclohexyl, 2-arylalkylcyclohexyl, 2-benzylcyclohexyl, 4-phenylcyclohexyl, adamantyl, isocamphenyl, carenyl, 7,7-dialkylnorbornyl, bornyl, norbornyl, and decalinyl groups. In still other embodiments of the second group of compounds of formula IIIA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-methylcyclohexyl, 2,2-dimethylcyclohexyl, 2,3-dimethylcyclohexyl, 2,4-dimethylcyclohexyl, 2,5-dimethylcyclohexyl, 2,6-dimethylcyclohexyl, 3,4-dimethylcyclohexyl, 3-methylcyclohexyl, 4-methylcyclohexyl, cyclohexenyl, 3,3,5-trimethylcyclohexyl, 4-*t*-butylcyclohexyl, 2-methylcycloheptyl, cyclohexylmethyl, isopinocampheyl, 7,7-dimethylnorbornyl, 4-isopropylcyclohexyl, and 3-methylcycloheptyl groups.

In the second group of compounds of formula IIIA, $R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups. In various embodiments of the second group of compounds of formula IIIA, $R^{4'}$ is H.

In the second group of compounds of formula IIIA, R^6 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted alkynyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the second group of compounds of formula IIIA, R^6 is H.

In the second group of compounds of formula IIIA, R^7 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In various embodiments of the second group of compounds of formula IIIA, R^7 is H.

In the second group of compounds of formula IIIA, R^8 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups including arylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heteroarylalkyl groups including heteroarylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In some embodiments of the second group of compounds of formula IIIA, R^8 is selected from the group consisting of substituted and unsubstituted arylalkyl groups, and substituted and unsubstituted heteroarylalkyl groups. In some embodiments

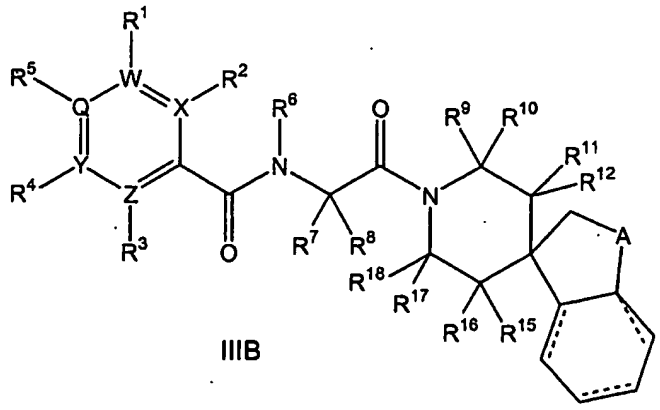
of compounds of formula IIIA, R^8 is a substituted or unsubstituted phenylalkyl group, a substituted or unsubstituted pyridylalkyl group, or a substituted or unsubstituted indolylalkyl group. In other embodiments of the second group of compounds of formula IIIA, R^8 is a substituted or unsubstituted phenylalkyl group or a substituted or unsubstituted indolylalkyl group. More specifically, R^8 may be a 2,4-disubstituted phenylmethyl group or an indolylmethyl group. In some embodiments of the second group of compounds of formula IIIA, R^8 is selected from the group consisting of 2,4-dihalophenylmethyl, and 2,4-dialkylphenylmethyl groups. In certain embodiments where R^8 is a substituted arylalkyl or heteroarylalkyl, such as a phenylalkyl or pyridylalkyl, one substituent on the aryl or heteroaryl ring is a group having the formula IIA or IIB, wherein $R^{1'}$, $R^{2'}$, $R^{3'}$, and $R^{4'}$ have the characteristics described above. In certain other embodiments of the second group of compounds of formula IIIA, R^8 is selected from the group consisting of phenylmethyl, 2,4-dichlorophenylmethyl, 4-methoxyphenylmethyl, 4-bromophenylmethyl, 4-methylphenylmethyl, 4-chlorophenylmethyl, 4-ethylphenylmethyl, cyclohexenylmethyl, 2-methoxyphenylmethyl, 2-chlorophenylmethyl, 2-fluorophenylmethyl, 3-methoxyphenylmethyl, 3-fluorophenylmethyl, thienylmethyl, indolylmethyl, 4-hydroxyphenylmethyl, 3,4-dimethoxyphenylmethyl, 2-chloro-4-iodophenylmethyl, 2-fluoro-4-methylphenylmethyl, 2-fluoro-4-bromophenylmethyl, 2-fluoro-4-methoxyphenylmethyl, 2-trifluoromethyl-4-fluorophenylmethyl, 2,4-difluorophenylmethyl, 2,4-dimethylphenylmethyl, or 2,4-dimethoxyphenylmethyl groups.

In the second group of compounds of formula IIIA, R^9, R^{10}, R^{11}, R^{12}, R^{15}, R^{16}, R^{17} and R^{18} are selected from the group consisting of H, Cl, F, Br, I, -CN, -OH, $-NO_2$, substituted and unsubstituted alkoxy groups, and substituted and unsubstituted alkyl groups.

In the second group of compounds of formula IIIA, R^{13} and R^{14} are selected from the group consisting of H, substituted and unsubstituted

alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted -C(=O)-O(alkyl) groups, substituted and unsubstituted -C(=O)-O(aryl) groups, -C(=O)-OH groups, -C(=O)-NH_2 groups, substituted and unsubstituted -C(=O)-N(H)(alkyl) groups, substituted and unsubstituted -C(=O)-N(alkyl)$_2$ groups, substituted and unsubstituted -C(=O)-N(H)(aryl) groups, substituted and unsubstituted -C(=O)-N(aryl)(alkyl) groups, substituted and unsubstituted -C(=O)-N(aryl)$_2$ groups, substituted and unsubstituted -C(=O)-N(H)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(aryl)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(heterocyclyl)$_2$ groups, and substituted and unsubstituted alkylsulfonylalkyl groups. In compounds of the second group having the formula IIIA, R^{13} and R^{14} may alternatively join together with the carbon to which they are bound to form a substituted or unsubstituted carbocyclic or heterocyclic ring.

In some embodiments of the second group of compounds of formula IIIA, the compound of formula IIIA has the formula IIIB, as shown below.



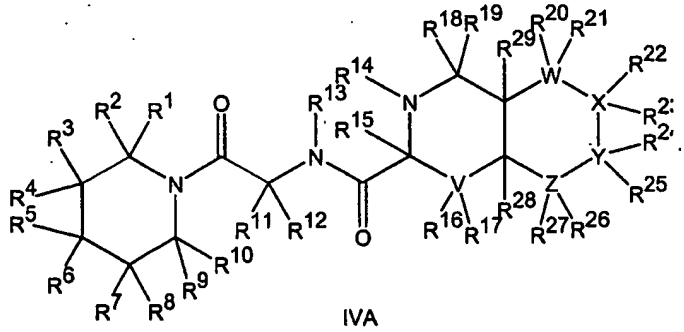
IIIB

wherein the dotted lines in the 6-membered carbocyclic ring indicate that the 6-membered ring is a substituted or unsubstituted cyclohexyl

or benzene ring In this embodiment, A may be independently selected from the group consisting of a CH_2 group, a C(=O) group, a NH group, a substituted or unsubstituted N(alkyl) group, a C(H)(C(=O)-O(alkyl)) group, a C(H)(C(=O)-NH_2) group, a C(H)(C(=O)-N(H)(alkyl)) group, a C(H)(C(=O)-N(H)(aryl)) group, a C(H)(C(=O)-N(alkyl)$_2$) group, a C(H)(C(=O)-N(aryl)$_2$) group, substituted and unsubstituted alkoxyalkyl groups, and substituted and unsubstituted arylalkyl groups. In these embodiments, the variables in the formula IIIB have the same definition as defined above with respect to compounds of formula IIIA.

In various embodiments of compounds of formula IIIB wherein the 6-membered carbocyclic ring is a substituted benzene ring, one substituent on the benzene ring is a group having the formula IIA or IIB, wherein $R^{1'}$, $R^{2'}$, $R^{3'}$, and $R^{4'}$ have the characteristics described above.

In accordance with yet another aspect of the invention, the invention provides a third group of compounds of formula IVA, as shown below.



IVA

Compounds of the invention further include prodrugs of the third group of compounds of formula IVA, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

In the third group of compounds of formula IVA, V is selected from a carbon atom or is absent from the ring such that the carbon atom

bonded to R^{28} is bonded to the carbon atom bonded to R^{15} forming a 5-membered ring.

In the third group of compounds of formula IVA, W, X, Y, and Z are independently selected from the group consisting of carbon atoms and nitrogen atoms. In some embodiments of the third group of compounds of formula IVA, at least one of W, X, Y, and Z is a nitrogen atom whereas in other embodiments W, X, Y, and Z are all carbon atoms.

In the third group of compounds of formula IVA, R^1, R^2, R^3, R^4, R^7, R^8, R^9, and R^{10} are independently selected from the group consisting of H, Cl, F, Br, I, OH, -CN, $-NO_2$ substituted and unsubstituted alkoxy groups, and substituted and unsubstituted alkyl groups.

In the third group of compounds of formula IVA, R^5 and R^6 are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted -C(=O)-O(alkyl) groups, substituted and unsubstituted -C(=O)-O(aryl) groups, -C(=O)-OH groups, $-C(=O)-NH_2$ groups, substituted and unsubstituted -C(=O)-N(H)(alkyl) groups, substituted and unsubstituted $-C(=O)-N(alkyl)_2$ groups, substituted and unsubstituted -C(=O)-N(H)(aryl) groups, substituted and unsubstituted -C(=O)-N(aryl)(alkyl) groups, substituted and unsubstituted $-C(=O)-N(aryl)_2$ groups, substituted and unsubstituted -C(=O)-N(H)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(aryl)(heterocyclyl) groups, substituted and unsubstituted $-C(=O)-N(heterocyclyl)_2$ groups, and substituted and unsubstituted alkylsulfonylalkyl groups. In other compounds of the third group of compounds of formula IVA, R^5 and R^6 may alternatively join together with the carbon atom to which they are both bound to form a substituted or unsubstituted carbocyclic or heterocyclic ring.

In the third group of compounds of formula IVA, R^{11} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In various embodiments of the third group of compounds of formula IVA, R^{11} is H.

In the third group of compounds of formula IVA, R^{12} is selected from the group consisting H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups including arylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heteroarylalkyl groups including heteroarylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In one embodiment of the third group of compounds of formula IVA, R^{12} is selected from the group consisting of substituted and unsubstituted arylalkyl groups, and substituted and unsubstituted heteroarylalkyl groups. In some embodiments of compounds of formula IVA, R^{12} is a substituted or unsubstituted phenylalkyl group, a substituted or unsubstituted pyridylalkyl group, or a substituted or unsubstituted indolylalkyl group. In other embodiments of the third group of compounds of formula IVA, R^{12} is a substituted or unsubstituted phenylalkyl group or a substituted or unsubstituted indolylalkyl group. Such embodiments include those in which R^{12} is a 2,4-disubstituted phenylmethyl group or an indolylmethyl group. These embodiments of the third group of compounds of formula IVA include those in which R^{12} is selected from the group consisting of 2,4-dihalophenylmethyl, and 2,4-dialkylphenylmethyl groups. In certain embodiments where R^{12} is a substituted arylalkyl or heteroarylalkyl, such as a phenylalkyl or pyridylalkyl, one substituent on the aryl or heteroaryl ring is a group having the formula IIA or IIB, wherein $R^{1'}$, $R^{2'}$, $R^{3'}$, and $R^{4'}$ have the

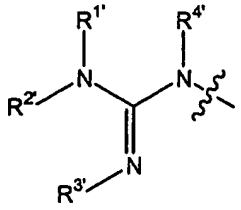
characteristics described above. In still other embodiments of the third group of compounds of formula IVA, R^{12} is selected from the group consisting of phenylmethyl, 2,4-dichlorophenylmethyl, 4-methoxyphenylmethyl, 4-bromophenylmethyl, 4-methylphenylmethyl, 4-chlorophenylmethyl, 4-ethylphenylmethyl, cyclohexenylmethyl, 2-methoxyphenylmethyl, 2-chlorophenylmethyl, 2-fluorophenylmethyl, 3-methoxyphenylmethyl, 3-fluorophenylmethyl, thienylmethyl, indolylmethyl, 4-hydroxyphenylmethyl, 3,4-dimethoxyphenylmethyl, 2-chloro-4-iodophenylmethyl, 2-fluoro-4-methylphenylmethyl, 2-fluoro-4-bromophenylmethyl, 2-fluoro-4-methoxyphenylmethyl, 2-trifluoromethyl-4-fluorophenylmethyl, 2,4-difluorophenylmethyl, 2,4-dimethylphenylmethyl, or 2,4-dimethoxyphenylmethyl groups.

In the third group of compounds of formula IVA, R^{13} and R^{14} are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the third group of compounds of formula IVA, R^{13} and/or R^{14} is(are) H.

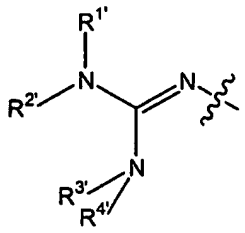
In the third group of compounds of formula IVA, R^{15}, R^{16}, R^{17}, R^{18}, and R^{19} are independently selected from H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In the third group of compounds of formula IVA where V is absent, R^{16} and R^{17} are also absent.

In the third group of compounds of formula IVA, R^{20}, R^{22}, R^{24}, and, R^{26} are independently selected from the group consisting of H,

substituted and unsubstituted alkyl groups, and groups having the formula IIA or IIB:



IIA



IIB

In the third group of compounds of formula IVA, R^{20} may be absent if W is a nitrogen atom, R^{22} may be absent if X is a nitrogen atom, R^{26} may be absent if Z is a nitrogen atom, and R^{24} may be absent if Y is a nitrogen atom. In the third group of compounds of formula IVA, at least one of R^{20}, R^{22}, R^{24} or R^{26} is a group having the formula IIA or IIB. In some such embodiments, one of R^{20}, R^{22}, R^{24} or R^{26} is a group having the formula IIA or IIB.

In the third group of compounds having the formula IVA, $R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups, and $R^{2'}$ may be selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In the third group of compounds of formula IVA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, may alternatively form a substituted or unsubstituted heterocyclyl or heteroaryl group. In some embodiments of the third group of compounds of formula IVA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In other embodiments of the third group of compounds of formula IVA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-

methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In still other embodiments of the third group of compounds of formula IVA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In various other embodiments of the third group of compounds of formula IVA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In still other embodiments of the third group of compounds of formula IVA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound form a substituted or unsubstituted heterocyclyl group. In still other embodiments of the third group of compounds of formula IVA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound form a substituted or unsubstituted saturated heterocyclyl group comprising at least one heteroatom selected from the group consisting of O, S, and N, in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are bound. In other embodiments of the third group of compounds of formula IVA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one nitrogen heteroatom in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are both bound. In still other embodiments of the third group of compounds of formula IVA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one oxygen heteroatom. Representative examples of some of the above-described heterocyclyl embodiments include those for which $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted piperazino, morpholino, pyrrolidino, piperidino, homopiperazino, or azepino group. Other embodiments of the third group of compounds of formula IVA include those in which $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted piperazino group optionally substituted by one or two alkyl groups, for example, one or two methyl groups.

In the third group of compounds having the formula IVA, $R^{3'}$ is selected from the group consisting of H, substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In some embodiments of the third group of compounds of formula IVA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups. In other embodiments of the third group of compounds of formula IVA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-alkylcyclohexyl, 2,2-dialkylcyclohexyl, 2,3-dialkylcyclohexyl, 2,4-dialkylcyclohexyl, 2,5-dialkylcyclohexyl, 2,6-dialkylcyclohexyl, 3,4-dialkylcyclohexyl, 3-alkylcyclohexyl, 4-alkylcyclohexyl, 3,3,5-trialkylcyclohexyl, cyclohexylmethyl, 2-aminocyclohexyl, 3-aminocyclohexyl, 4-aminocyclohexyl, 2,3-diaminocyclohexyl, 2,4-diaminocyclohexyl, 3,4-diaminocyclohexyl, 2,5-diaminocyclohexyl, 2,6-diaminocyclohexyl, 2,2-diaminocyclohexyl, 2-alkoxycyclohexyl, 3-alkoxycyclohexyl, 4-alkoxycyclohexyl, 2,3-dialkoxycyclohexyl, 2,4-dialkoxycyclohexyl, 3,4-dialkoxycyclohexyl, 2,5-dialkoxycyclohexyl, 2,6-dialkoxycyclohexyl, 2,2-dialkoxycyclohexyl, 2-alkylthiocyclohexyl, 3-alkylthiocyclohexyl, 4-alkylthiocyclohexyl, 2,3-dialkylthiocyclohexyl, 2,4-dialkylthiocyclohexyl, 3,4-dialkylthiocyclohexyl, 2,5-dialkylthiocyclohexyl, 2,6-dialkylthiocyclohexyl, 2,2-dialkylthiocyclohexyl, cyclopentyl, cycloheptyl, cyclohexenyl, isopropyl, n-butyl, cyclooctyl, 2-arylcyclohexyl, 2-phenylcyclohexyl, 2-arylalkylcyclohexyl, 2-benzylcyclohexyl, 4-phenylcyclohexyl, adamantyl, isocamphenyl, carenyl, 7,7-dialkylnorbornyl, bornyl, norbornyl, and decalinyl groups. In still other embodiments of the third group of compounds of formula IVA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-methylcyclohexyl, 2,2-dimethylcyclohexyl, 2,3-dimethylcyclohexyl, 2,4-dimethylcyclohexyl, 2,5-dimethylcyclohexyl, 2,6-dimethylcyclohexyl, 3,4-dimethylcyclohexyl, 3-methylcyclohexyl, 4-methylcyclohexyl, cyclohexenyl, 3,3,5-trimethylcyclohexyl, 4-*t*-butylcyclohexyl, 2-methylcycloheptyl,

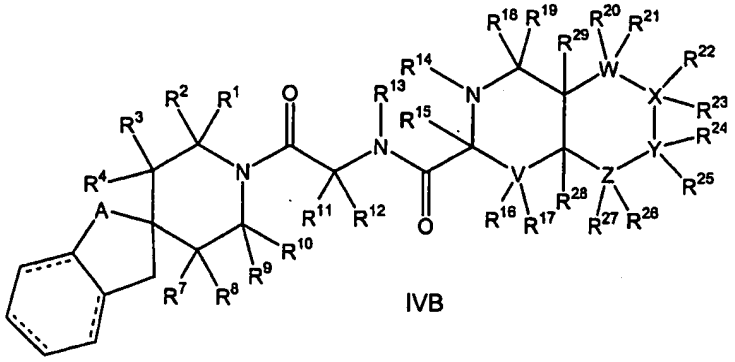
cyclohexylmethyl, isopinocampheyl, 7,7-dimethylnorbornyl, 4-isopropylcyclohexyl, and 3-methylcycloheptyl groups.

In the third group of compounds having the formulas IVA, $R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups. In various embodiments of the third group of compounds of formula IVA, $R^{4'}$ is H. In some embodiments of the third group of compounds, one, some or all of $R^{4'}$, R^{11}, R^{13}. R^{14}, and R^{15} is (are) all H.

In the third group of compounds of formula IVA, R^{21}, R^{23}, R^{25}, and R^{27} are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In the third group of compounds having the formula IVA , R^{21} and R^{23} together can alternatively represent a double bond between the carbons bonded to R^{21} and R^{23}. In compounds of the third group having the formula IVA, R^{25} and R^{27} together can alternatively represent a double bond between the carbons bonded to R^{25} and R^{27}.

In the third group of compounds of formula IVA, R^{28} and R^{29} are independently selected from the group consisting of H, and substituted and unsubstituted alkyl groups. In the third group of compounds of formula IVA, R^{28} and R^{29} together can alternatively represent a double bond between the carbon atoms bonded to R^{28} and R^{29}.

In one embodiment of the third group of compounds of formula IVA, the compound of formula IVA has the formula IVB below

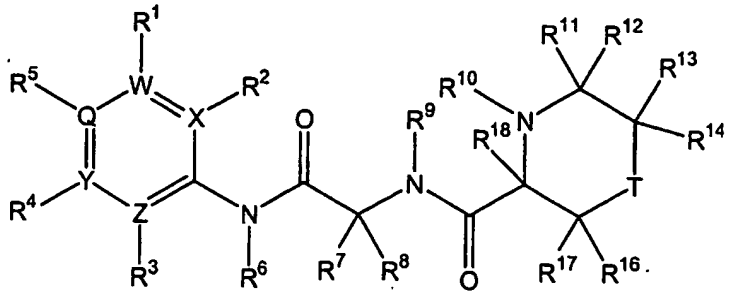


IVB

wherein the dotted lines in the 6-membered carbocyclic ring indicate that the 6-membered ring is a substituted or unsubstituted cyclohexyl or benzene ring. In these embodiments, A may be independently selected from the group consisting of a CH_2 group, a C(=O) group, a NH group, a substituted or unsubstituted N(alkyl) group, a C(H)(C(=O)-O(alkyl)) group, a C(H)(C(=O)-NH_2) group, a C(H)(C(=O)-N(H)(alkyl)) group, a C(H)(C(=O)-N(H)(aryl)) group, a C(H)(C(=O)-N(alkyl)$_2$) group, a C(H)(C(=O)-N(aryl)$_2$) group, substituted and unsubstituted alkoxyalkyl groups, and substituted and unsubstituted arylalkyl groups. In these embodiments the variables in the formula IVB have the same definition as defined above with respect to compounds of formula IVA.

In various embodiments of compounds of formula IVB wherein the 6-membered carbocyclic ring is a substituted benzene ring, one substituent on the benzene ring is a group having the formula IIA or IIB, wherein $R^{1'}$, $R^{2'}$, $R^{3'}$, and $R^{4'}$ have the characteristics described above.

In accordance with yet another aspect of the invention, the invention provides a fourth group of compounds of formula VA, as shown below.



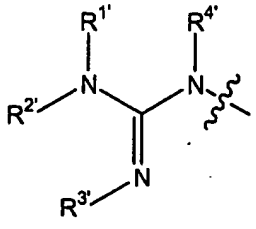
VA

Compounds of the invention further include prodrugs of the fourth group of compounds of formula VA, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

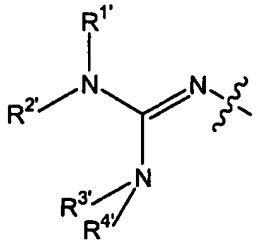
In the fourth group of compounds of formula VA, T is selected from the group consisting of O, S, and NR^{15} groups;

In the fourth group of compounds of formula VA, Q, W, X, Y, and Z are independently selected from the group consisting of carbon atoms and nitrogen atoms. In some embodiments of the fourth group of compounds of formula VA, at least one of Q, W, X, Y, and Z is a nitrogen atom. In other embodiments of the fourth group of compounds of formula VA, Q, W, X, Y, and Z are all carbon atoms. In other embodiments of the fourth group of compounds of formula VA, Q is a nitrogen atom and W, X, Y, and Z are all carbon atoms. In other embodiments of the fourth group of compounds of formula VA, W is a nitrogen atom and Q, X, Y, and Z are all carbon atoms. In other embodiments of the fourth group of compounds of formula VA, X is a nitrogen atom and Q, W, Y, and Z are all carbon atoms. In still other embodiments of the fourth group of compounds of formula VA, Y is a nitrogen atom and Q, W, X, and Z are all carbon atoms. In still other embodiments of the fourth group of compounds of formula VA, Z is a nitrogen atom and Q, W, X, and Y are all carbon atoms.

In the fourth group of compounds of formula VA, R^1, R^2, R^3, R^4, and R^5 may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB:



IIA



IIB

In the fourth group of compounds of formula VA, R^1 may be absent if W is a nitrogen atom, R^2 may be absent if X is a nitrogen atom, R^3 may be absent if Z is a nitrogen atom, R^4 may be absent if Y is a nitrogen atom, and R^5 may be absent if Q is a nitrogen atom. In the fourth group of compounds of formula VA , at least one of R^1, R^2, R^3, R^4, or R^5 is a group having the formula IIA or IIB. In one embodiment of the fourth group of compounds of formula VA, Q is a carbon atom and R^5 is a group of formula IIA or IIB. In some embodiments of the fourth group of compounds of formula VA , one of R^1, R^2, R^3, R^4, or R^5 is a group having the formula IIA or IIB.

In the fourth group of compounds of formula VA, $R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups, and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl

groups. In the fourth group of compounds of formula VA , $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, may alternatively form a substituted or unsubstituted heterocyclyl or heteroaryl group. In some embodiments of the fourth group of compounds of formula VA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In other embodiments of the fourth group of compounds of formula VA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In still other embodiments of the fourth group of compounds of formula VA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In various other embodiments of the fourth group of compounds of formula VA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In one embodiment of the fourth group of compounds of formula VA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl group. In still other embodiments of the fourth group of compounds of formula IVA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted saturated heterocyclyl group comprising at least one heteroatom selected from the group consisting of O, S, and N, in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are bound. In other embodiments of the fourth group of compounds of formula VA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one nitrogen heteroatom in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are both bound. In still other embodiments of the fourth group of compounds of formula VA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted

heterocyclyl ring containing at least one oxygen heteroatom. Representative examples of some of the above-described heterocyclyl embodiments include those for which $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted piperazino, morpholino, pyrrolidino, piperidino, homopiperazino, or azepino group. Other embodiments of the fourth group of compounds of formula VA include those in which $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted piperazino group optionally substituted by one or two alkyl groups, for example, one or two methyl groups.

In the fourth group of compounds of formula VA, $R^{3'}$ is selected from the group consisting of H, substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In some embodiments of the fourth group of compounds of formula VA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups. This embodiment contemplates that $R^{3'}$ may be selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-alkylcyclohexyl, 2,2-dialkylcyclohexyl, 2,3-dialkylcyclohexyl, 2,4-dialkylcyclohexyl, 2,5-dialkylcyclohexyl, 2,6-dialkylcyclohexyl, 3,4-dialkylcyclohexyl, 3-alkylcyclohexyl, 4-alkylcyclohexyl, 3,3,5-trialkylcyclohexyl, cyclohexylmethyl, 2-aminocyclohexyl, 3-aminocyclohexyl, 4-aminocyclohexyl, 2,3-diaminocyclohexyl, 2,4-diaminocyclohexyl, 3,4-diaminocyclohexyl, 2,5-diaminocyclohexyl, 2,6-diaminocyclohexyl, 2,2-diaminocyclohexyl, 2-alkoxycyclohexyl, 3-alkoxycyclohexyl, 4-alkoxycyclohexyl, 2,3-dialkoxycyclohexyl, 2,4-dialkoxycyclohexyl, 3,4-dialkoxycyclohexyl, 2,5-dialkoxycyclohexyl, 2,6-dialkoxycyclohexyl, 2,2-dialkoxycyclohexyl, 2-alkylthiocyclohexyl, 3-alkylthiocyclohexyl, 4-alkylthiocyclohexyl, 2,3-dialkylthiocyclohexyl, 2,4-dialkylthiocyclohexyl, 3,4-dialkylthiocyclohexyl, 2,5-dialkylthiocyclohexyl, 2,6-dialkylthiocyclohexyl, 2,2-dialkylthiocyclohexyl, cyclopentyl, cycloheptyl, cyclohexenyl, isopropyl, n-butyl, cyclooctyl, 2-arylcyclohexyl, 2-phenylcyclohexyl, 2-arylalkylcyclohexyl, 2-benzylcyclohexyl,

4-phenylcyclohexyl, adamantyl, isocamphenyl, carenyl, 7,7-dialkylnorbornyl, bornyl, norbornyl, and decalinyl groups. In other embodiments of the fourth group of compounds of formula VA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-methylcyclohexyl, 2,2-dimethylcyclohexyl, 2,3-dimethylcyclohexyl, 2,4-dimethylcyclohexyl, 2,5-dimethylcyclohexyl, 2,6-dimethylcyclohexyl, 3,4-dimethylcyclohexyl, 3-methylcyclohexyl, 4-methylcyclohexyl, cyclohexenyl, 3,3,5-trimethylcyclohexyl, 4-*t*-butylcyclohexyl, 2-methylcycloheptyl, cyclohexylmethyl, isopinocampheyl, 7,7-dimethylnorbornyl, 4-isopropylcyclohexyl, and 3-methylcycloheptyl groups.

In the fourth group of compounds of formula VA, $R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups. In various embodiments of the fourth group of compounds of formula VA, $R^{4'}$ is H.

In the fourth group of compounds of formula VA, R^6 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted alkynyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments, of the fourth group of compounds of formula VA R^6 is H.

In the fourth group of compounds of formula VA, R^7 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and

unsubstituted aryl groups. In various embodiments of the fourth group of compounds of formula VA, R^7 is H.

In the fourth group of compounds of formula VA, R^8 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups including arylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heteroarylalkyl groups including heteroarylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In one embodiment of the fourth group of compounds of formula VA, R^8 is selected from the group consisting of substituted and unsubstituted arylalkyl groups, and substituted and unsubstituted heteroarylalkyl groups. In some embodiments of compounds of formula VA, R^8 is a substituted or unsubstituted phenylalkyl group, a substituted or unsubstituted pyridylalkyl group, or a substituted or unsubstituted indolylalkyl group. In other embodiments of the fourth group of compounds of formula VA, R^8 is a substituted or unsubstituted phenylalkyl group or a substituted or unsubstituted indolylalkyl group. In these embodiments of the fourth group of compounds of formula VA, R^8 may be a 2,4-disubstituted phenylmethyl group or an indolylmethyl group. These embodiments contemplate that R^8 may be selected from the group consisting of 2,4-dihalophenylmethyl, and 2,4-dialkylphenylmethyl groups. In certain embodiments where R^8 is a substituted arylalkyl or heteroarylalkyl, such as a phenylalkyl or pyridylalkyl, one substituent on the aryl or heteroaryl ring is a group having the formula IIA or IIB, wherein $R^{1'}$, $R^{2'}$, $R^{3'}$, and $R^{4'}$ have the characteristics described above. In other embodiments of the fourth group of compounds of formula VA, R^8 is selected from the group consisting of phenylmethyl, 2,4-dichlorophenylmethyl, 4-methoxyphenylmethyl, 4-

bromophenylmethyl, 4-methylphenylmethyl, 4-chlorophenylmethyl, 4-ethylphenylmethyl, cyclohexenylmethyl, 2-methoxyphenylmethyl, 2-chlorophenylmethyl, 2-fluorophenylmethyl, 3-methoxyphenylmethyl, 3-fluorophenylmethyl, thienylmethyl, indolylmethyl, 4-hydroxyphenylmethyl, 3,4-dimethoxyphenylmethyl, 2-chloro-4-iodophenylmethyl, 2-fluoro-4-methylphenylmethyl, 2-fluoro-4-bromophenylmethyl, 2-fluoro-4-methoxyphenylmethyl, 2-trifluoromethyl-4-fluorophenylmethyl, 2,4-difluorophenylmethyl, 2,4-dimethylphenylmethyl, or 2,4-dimethoxyphenylmethyl groups.

In the fourth group of compounds of formula VA, R^9 is selected from the group consisting H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the fourth group of compounds of formula VA, R^9 is H.

In the fourth group of compounds of formula VA, R^{10} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the fourth group of compounds of formula VA, R^{10} is H.

In the fourth group of compounds of formula VA, R^{11}, R^{12}, R^{13}, R^{14}, R^{16}, and R^{17} are selected from the group consisting of H, Cl, F, Br, I, -CN, -OH, $-NO_2$, substituted and unsubstituted aryl groups, substituted and unsubstituted –C(=O)-alkyl groups, substituted and unsubstituted alkylcarbonylalkyl groups, substituted and unsubstituted alkoxy groups, substituted and unsubstituted aryloxy groups, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted $-NH_2$ groups, substituted and unsubstituted N(H)(alkyl) groups, substituted and unsubstituted –NH(aryl) groups, substituted and unsubstituted –NH(heterocyclyl) groups, substituted and unsubstituted –N(alkyl)(aryl) groups, substituted and unsubstituted –N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -N(aryl)(heterocyclyl) groups, substituted and unsubstituted $-N(alkyl)_2$ groups, substituted and unsubstituted $-N(aryl)_2$ groups, substituted and unsubstituted -N(heterocyclyl)$_2$ groups, -C(=O)-OH groups, substituted and unsubstituted -C(=O)-O(alkyl) groups, substituted and unsubstituted amide groups, substituted and unsubstituted sulfone groups, and substituted and unsubstituted sulfonamide groups.

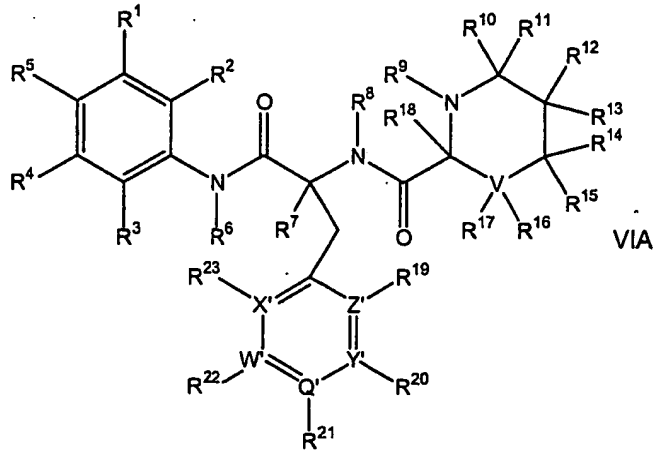
In the fourth group of compounds of formula VA, R^{15} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups.

In one embodiment, T is an NR^{15} group and R^{14} and R^{15} together with the atoms to which they are bound form a substituted or unsubstituted heterocyclic ring comprising 6 members.

In the fourth group of compounds of formula VA, R^{12} and R^{14} together with the carbon atoms to which they are bound, may form a substituted or unsubstituted, saturated or unsaturated carbocyclic or heterocyclic ring comprising 5 or 6 members. In the fourth group of compounds of formula VA, R^{14} and R^{15} together with the atoms to which they are bound, may form a substituted or unsubstituted, saturated or unsaturated heterocyclic ring comprising 5 or 6 members.

In the fourth group of compounds of formula VA, R^{18} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In various embodiments of the fourth group of compounds of formula VA, R^{18} is H.

In accordance with another aspect of the invention, the invention provides a fifth group of compounds of formula VIA as shown below.



VIA

Compounds of the invention further include prodrugs of the fifth group of compounds of formula VIA, pharmaceutically acceptable salts

thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

In the fifth group of compounds of formula VIA, V is selected from a carbon atom or is absent from the ring such that the carbon atom bonded to R^{15} is bonded to the carbon atom bonded to R^{18} forming a 5-membered ring.

In the fifth group of compounds of formula VIA, Q', W', X', Y', and Z' are independently selected from the group consisting of carbon atoms and nitrogen atoms. In some embodiments of the fifth group of compounds of formula VIA, at least one of Q', W', X', Y', and Z' is a nitrogen atom. In other embodiments of the fifth group of compounds of formula VIA, Q', W', X', Y', and Z' are all carbon atoms. In other embodiments of the fifth group of compounds of formula VIA, Q' is a nitrogen atom and W', X', Y', and Z' are all carbon atoms. In other embodiments of the fifth group of compounds of formula VIA, W' is a nitrogen atom and Q', X', Y', and Z' are all carbon atoms. In other embodiments of the fifth group of compounds of formula VIA, X' is a nitrogen atom and Q', W', Y', and Z' are all carbon atoms. In still other embodiments of the fifth group of compounds of formula VIA, Y' is a nitrogen atom and Q', W', X', and Z' are all carbon atoms. In still other embodiments of the fifth group of compounds of formula VIA, Z' is a nitrogen atom and Q', W', X', and Y' are all carbon atoms.

In the fifth group of compounds of formula VIA, R^1, R^2, R^3, R^4, and R^5 may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB.

In the fifth group of compounds of formula VIA, R^6 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted alkynyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the fifth group of compounds of formula VIA, R^6 is H.

In the fifth group of compounds of formula VIA, R^7 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In various embodiments of the fifth group of compounds of formula VIA, R^7 is H.

In the fifth group of compounds of formula VIA, R^8 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups.

In the fifth group of compounds of formula VIA, R^9 is selected from the group consisting H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl

groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the fifth group of compounds of formula VIA, R^9 is H.

In the fifth group of compounds of formula VIA, R^{10}, R^{11}, R^{12}, R^{15}, R^{16}, and R^{17} are selected from the group consisting of H, Cl, F, Br, I, -CN, -OH, -NO_2, substituted and unsubstituted aryl, substituted and unsubstituted –C(=O)-alkyl groups, substituted and unsubstituted alkylcarbonylalkyl groups, substituted and unsubstituted alkoxy groups, substituted and unsubstituted aryloxy groups, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted –NH_2 groups, substituted and unsubstituted N(H)(alkyl) groups, substituted and unsubstituted –NH(aryl) groups, substituted and unsubstituted –NH(heterocyclyl) groups, substituted and unsubstituted –N(alkyl)(aryl) groups, substituted and unsubstituted –N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -N(aryl)(heterocyclyl) groups, substituted and unsubstituted –$N(alkyl)_2$ groups, substituted and unsubstituted –$N(aryl)_2$ groups, substituted and unsubstituted -$N(heterocyclyl)_2$ groups, -C(=O)-OH groups, substituted and unsubstituted -C(=O)-O(alkyl) groups, substituted and unsubstituted amide groups, substituted and unsubstituted sulfone groups, and substituted and unsubstituted sulfonamide groups. In the fifth group of compounds of formula VIA, R^{12} and R^{15} together may alternatively represent a double bond between the carbon atoms bonded to R^{12} and R^{15}.

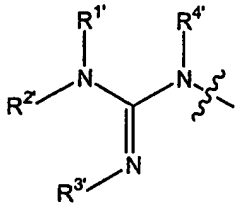
In the fifth group of compounds of formula VIA, R^{13} and R^{14} are selected from the group consisting of H, and substituted and unsubstituted alkyl groups. Alternatively, R^{13} and R^{14} together with the two carbon atoms to which they are bound form a substituted or unsubstituted, saturated or

unsaturated, carbocyclic or heterocyclic ring comprising 5, 6, or 7 members. In one embodiment of the fifth group of compounds of formula VIA, R^{13} and R^{14}, together with the two carbon atoms to which they are bound, form a substituted or unsubstituted carbocyclic ring comprising 6 members.

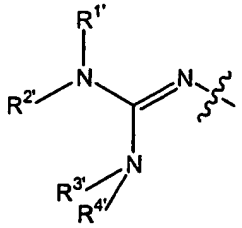
In the fifth group of compounds of formula VIA, R^{18} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In various embodiments of the fifth group of compounds of formula VIA, R^{18} is H.

In compounds of formula VIA where V is absent, R^{16} and R^{17} are also absent.

In the fifth group of compounds of formula VIA , R^{19}, R^{20}, R^{21}, R^{22}, and R^{23} may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups and groups of formula IIA or IIB.



IIA



IIB

In the fifth group of compounds of formula VIA , R^{22} may be absent if W' is a nitrogen atom, R^{23} may be absent if X' is a nitrogen atom, R^{19} may be absent if Z' is a nitrogen atom, R^{20} may be absent if Y' is a nitrogen atom, and R^{21} may be absent if Q' is a nitrogen atom. In the fifth group of

compounds of formula VIA , at least one of R^{19}, R^{20}, R^{21}, R^{22}, or R^{23} is a group having the formula IIA or IIB. In some embodiments of the fifth group of compounds of formula VIA, Q' is a carbon atom and R^{21} is a group of formula IIA or IIB. In some embodiments of the fifth group of compounds of formula VIA , one of R^{19}, R^{20}, R^{21}, R^{22}, or R^{23} is a group having the formula IIA or IIB

In the fifth group of compounds having the formula VIA, $R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups, and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In the fifth group of compounds having the formula VIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, may alternatively form a substituted or unsubstituted heterocyclyl or heteroaryl group. In some embodiments of the fifth group of compounds of formula VIA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In other embodiments of the fifth group of compounds of formula VIA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In still other embodiments of the fifth group of compounds of formula VIA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In various other embodiments of the fifth group of compounds of formula VIA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In still other embodiments of the fifth group of compounds of formula VIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to

which they are bound, form a substituted or unsubstituted heterocyclyl group. In some embodiments of the fifth group of compounds of formula VIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted saturated heterocyclyl group comprising at least one heteroatom selected from the group consisting of O, S, and N, in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are bound. In other embodiments of the fifth group of compounds of formula VIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one nitrogen heteroatom in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are both bound. In still other embodiments of the fifth group of compounds of formula VIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one oxygen heteroatom. Representative examples of some of the above-described heterocyclyl embodiments include those for which $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted piperazino, morpholino, pyrrolidino, piperidino, homopiperazino, or azepino group. Other embodiments of the fifth group of compounds of formula VIA include those in which $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted piperazino group optionally substituted by one or two alkyl groups, for example, one or two methyl groups.

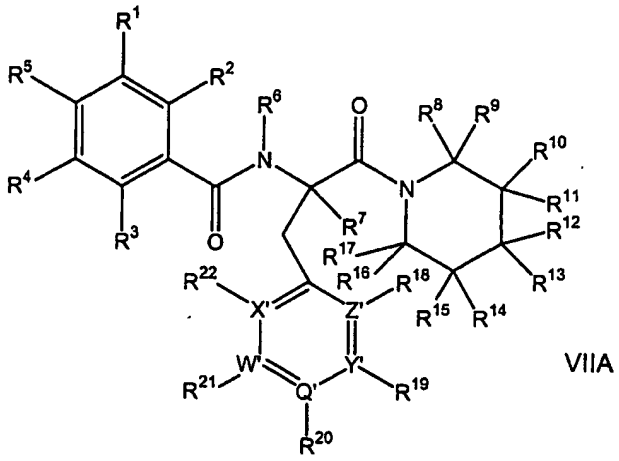
In the fifth group of compounds having the formula VIA, $R^{3'}$ is selected from the group consisting of H, and substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In some embodiments of the fifth group of compounds having the formula VIA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groupsIn some embodiments of the fifth group of compounds of formula VIA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl,

alkenyl, alkyl, and aryl groups. In other embodiments of the fifth group of compounds of formula VIA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-alkylcyclohexyl, 2,2-dialkylcyclohexyl, 2,3-dialkylcyclohexyl, 2,4-dialkylcyclohexyl, 2,5-dialkylcyclohexyl, 2,6-dialkylcyclohexyl, 3,4-dialkylcyclohexyl, 3-alkylcyclohexyl, 4-alkylcyclohexyl, 3,3,5-trialkylcyclohexyl, cyclohexylmethyl, 2-aminocyclohexyl, 3-aminocyclohexyl, 4-aminocyclohexyl, 2,3-diaminocyclohexyl, 2,4-diaminocyclohexyl, 3,4-diaminocyclohexyl, 2,5-diaminocyclohexyl, 2,6-diaminocyclohexyl, 2,2-diaminocyclohexyl, 2-alkoxycyclohexyl, 3-alkoxycyclohexyl, 4-alkoxycyclohexyl, 2,3-dialkoxycyclohexyl, 2,4-dialkoxycyclohexyl, 3,4-dialkoxycyclohexyl, 2,5-dialkoxycyclohexyl, 2,6-dialkoxycyclohexyl, 2,2-dialkoxycyclohexyl, 2-alkylthiocyclohexyl, 3-alkylthiocyclohexyl, 4-alkylthiocyclohexyl, 2,3-dialkylthiocyclohexyl, 2,4-dialkylthiocyclohexyl, 3,4-dialkylthiocyclohexyl, 2,5-dialkylthiocyclohexyl, 2,6-dialkylthiocyclohexyl, 2,2-dialkylthiocyclohexyl, cyclopentyl, cycloheptyl, cyclohexenyl, isopropyl, n-butyl, cyclooctyl, 2-arylcyclohexyl, 2-phenylcyclohexyl, 2-arylalkylcyclohexyl, 2-benzylcyclohexyl, 4-phenylcyclohexyl, adamantyl, isocamphenyl, carenyl, 7,7-dialkylnorbornyl, bornyl, norbornyl, and decalinyl groups. In still other embodiments of the fifth group of compounds of formula VIA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-methylcyclohexyl, 2,2-dimethylcyclohexyl, 2,3-dimethylcyclohexyl, 2,4-dimethylcyclohexyl, 2,5-dimethylcyclohexyl, 2,6-dimethylcyclohexyl, 3,4-dimethylcyclohexyl, 3-methylcyclohexyl, 4-methylcyclohexyl, cyclohexenyl, 3,3,5-trimethylcyclohexyl, 4-*t*-butylcyclohexyl, 2-methylcycloheptyl, cyclohexylmethyl, isopinocampheyl, 7,7-dimethylnorbornyl, 4-isopropylcyclohexyl, and 3-methylcycloheptyl groups.

In the fifth group of compounds of formula VIA, $R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and

heteroarylalkyl groups. In various embodiments of the fifth group of compounds of formula VIA, $R^{4'}$ is H.

In accordance with another aspect of the invention, the invention provides a sixth group of compounds of formula VIIA, as shown below.



VIIA

Compounds of the invention further include prodrugs of the sixth group of compounds of formula VIIA, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

In the sixth group of compounds of formula VIIA, Q', W', X', Y', and Z' are independently selected from the group consisting of carbon atoms and nitrogen atoms. In some embodiments of the sixth group of compounds of formula VIIA, at least one of Q', W', X', Y', and Z' is a nitrogen atom. In other embodiments of the sixth group of compounds of formula VIIA, Q', W', X', Y', and Z' are all carbon atoms. In other embodiments of the sixth group of compounds of formula VIIA, Q' is a nitrogen atom and W', X', Y', and Z' are all carbon atoms. In other embodiments of the sixth group of compounds of formula VIIA, W' is a nitrogen atom and Q', X', Y', and Z' are all carbon atoms. In other embodiments of the sixth group of compounds of formula VIIA, X' is a nitrogen atom and Q', W', Y', and Z' are all carbon atoms. In still other embodiments of the sixth group of compounds of formula VIIA, Y' is a nitrogen

atom and Q', W', X', and Z' are all carbon atoms. In still other embodiments of the sixth group of compounds of formula VIIA, Z' is a nitrogen atom and Q', W', X', and Y' are all carbon atoms.

In the sixth group of compounds of formula VIIA , R^1, R^2, R^3, R^4, and R^5 may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB.

In the sixth group of compounds of formula VIIA, R^6 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted alkynyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the sixth group of compounds of formula VIIA, R^6 is H.

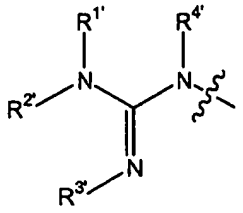
In the sixth group of compounds of formula VIIA, R^7 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In various embodiments of the sixth group of compounds of formula VIIA, R^7 is H.

In the sixth group of compounds of formula VIIA, R^8, R^9, R^{10}, R^{11}, R^{14}, R^{15}, R^{16} and R^{17} are selected from the group consisting of H, Cl, F,

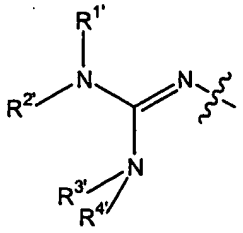
Br, I, -CN, -OH, $-NO_2$, substituted and unsubstituted alkoxy groups, and substituted and unsubstituted alkyl groups.

In the sixth group of compounds of formula VIIA, R^{12} and R^{13} are selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted -C(=O)-O(alkyl) groups, substituted and unsubstituted -C(=O)-O(aryl) groups, -C(=O)-OH groups, $-C(=O)-NH_2$ groups, substituted and unsubstituted -C(=O)-N(H)(alkyl) groups, substituted and unsubstituted $-C(=O)-N(alkyl)_2$ groups, substituted and unsubstituted -C(=O)-N(H)(aryl) groups, substituted and unsubstituted -C(=O)-N(aryl)(alkyl) groups, substituted and unsubstituted $-C(=O)-N(aryl)_2$ groups, substituted and unsubstituted -C(=O)-N(H)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(aryl)(heterocyclyl) groups, substituted and unsubstituted $-C(=O)-N(heterocyclyl)_2$ groups, and substituted and unsubstituted alkylsulfonylalkyl groups. In the sixth group of compounds of formula VIIA, R^{12} and R^{13} may alternatively join together with the carbon to which they are bound to form a substituted or unsubstituted carbocyclic or heterocyclic ring including carbocyclic or heterocyclic rings substituted with a group of formula IIA or IIB.

In the sixth group of compounds of formula VIIA , R^{18}, R^{19}, R^{20}, R^{21}, and R^{22} may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB.



IIA



IIB

In the sixth group of compounds of formula VIIA, R^{21} may be absent if W' is a nitrogen atom, R^{22} may be absent if X' is a nitrogen atom, R^{18} may be absent if Z' is a nitrogen atom, R^{19} may be absent if Y' is a nitrogen atom, and R^{20} may be absent if Q' is a nitrogen atom. In the sixth group of compounds of formula VIIA, at least one of R^{18}, R^{19}, R^{20}, R^{21}, or R^{22} is a group having the formula IIA or IIB. In one embodiment of the sixth group of compounds of formula VIIA, Q' is a carbon atom and R^{20} is a group of formula IIA or IIB. In some embodiments of the sixth group of compounds of formula VIIA, one of R^{18}, R^{19}, R^{20}, R^{21}, or R^{22} is a group having the formula IIA or IIB

In the sixth group of compounds of formula VIIA, $R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups, and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In the sixth group of compounds having the formula VIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, may alternatively form a substituted or unsubstituted heterocyclyl or heteroaryl group. In one embodiment of the sixth group of compounds of formula VIIA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In other embodiments of the sixth group of compounds of formula VIIA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-

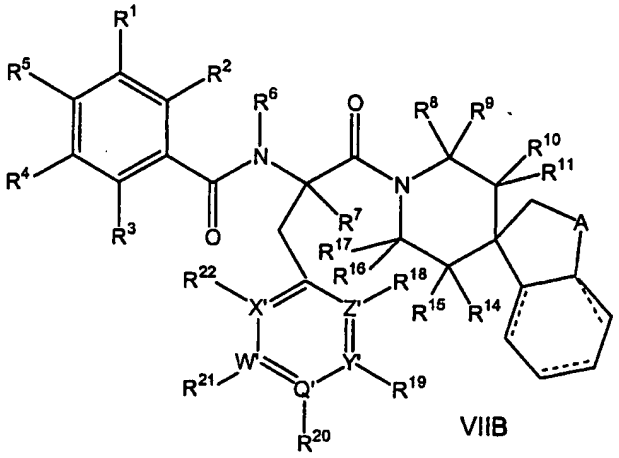
methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In still other embodiments of the sixth group of compounds of formula VIIA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In various embodiments of the sixth group of compounds of formula VIIA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In other embodiments of the sixth group of compounds of formula VIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound form a substituted or unsubstituted heterocyclyl group. In still other embodiments of the sixth group of compounds of formula VIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted saturated heterocyclyl group comprising at least one heteroatom selected from the group consisting of O, S, and N, in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are bound. In other embodiments of the sixth group of compounds of formula VIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one nitrogen heteroatom in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are both bound. In still other embodiments of the sixth group of compounds of formula VIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one oxygen heteroatom. Representative examples of some of the above-described heterocyclyl embodiments include those for which $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted piperazino, morpholino, pyrrolidino, piperidino, homopiperazino, or azepino group. In other embodiments of the sixth group of compounds of formula VIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted piperazino group optionally substituted by one or two alkyl groups, for example, one or two methyl groups.

In the sixth group of compounds having the formula VIIA, $R^{3'}$ is selected from the group consisting of H, substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In some embodiments of the sixth group of compounds of formula VIIA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups. In other embodiments of the sixth group of compounds of formula VIIA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-alkylcyclohexyl, 2,2-dialkylcyclohexyl, 2,3-dialkylcyclohexyl, 2,4-dialkylcyclohexyl, 2,5-dialkylcyclohexyl, 2,6-dialkylcyclohexyl, 3,4-dialkylcyclohexyl, 3-alkylcyclohexyl, 4-alkylcyclohexyl, 3,3,5-trialkylcyclohexyl, cyclohexylmethyl, 2-aminocyclohexyl, 3-aminocyclohexyl, 4-aminocyclohexyl, 2,3-diaminocyclohexyl, 2,4-diaminocyclohexyl, 3,4-diaminocyclohexyl, 2,5-diaminocyclohexyl, 2,6-diaminocyclohexyl, 2,2-diaminocyclohexyl, 2-alkoxycyclohexyl, 3-alkoxycyclohexyl, 4-alkoxycyclohexyl, 2,3-dialkoxycyclohexyl, 2,4-dialkoxycyclohexyl, 3,4-dialkoxycyclohexyl, 2,5-dialkoxycyclohexyl, 2,6-dialkoxycyclohexyl, 2,2-dialkoxycyclohexyl, 2-alkylthiocyclohexyl, 3-alkylthiocyclohexyl, 4-alkylthiocyclohexyl, 2,3-dialkylthiocyclohexyl, 2,4-dialkylthiocyclohexyl, 3,4-dialkylthiocyclohexyl, 2,5-dialkylthiocyclohexyl, 2,6-dialkylthiocyclohexyl, 2,2-dialkylthiocyclohexyl, cyclopentyl, cycloheptyl, cyclohexenyl, isopropyl, n-butyl, cyclooctyl, 2-arylcyclohexyl, 2-phenylcyclohexyl, 2-arylalkylcyclohexyl, 2-benzylcyclohexyl, 4-phenylcyclohexyl, adamantyl, isocamphenyl, carenyl, 7,7-dialkylnorbornyl, bornyl, norbornyl, and decalinyl groups. In still other embodiments of the sixth group of compounds of formula VIIA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-methylcyclohexyl, 2,2-dimethylcyclohexyl, 2,3-dimethylcyclohexyl, 2,4-dimethylcyclohexyl, 2,5-dimethylcyclohexyl, 2,6-dimethylcyclohexyl, 3,4-dimethylcyclohexyl, 3-methylcyclohexyl, 4-methylcyclohexyl, cyclohexenyl, 3,3,5-trimethylcyclohexyl, 4-*t*-butylcyclohexyl, 2-methylcycloheptyl,

cyclohexylmethyl, isopinocampheyl, 7,7-dimethylnorbornyl, 4-isopropylcyclohexyl, and 3-methylcycloheptyl groups.

In the sixth group of compounds having the formula VIIA, $R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups. In various embodiments of the sixth group of compounds of formula VIIA, $R^{4'}$ is H.

In one embodiment of the sixth group of compounds of formula VIIA, the compound of formula VIIA has the formula VIIB, as shown below.



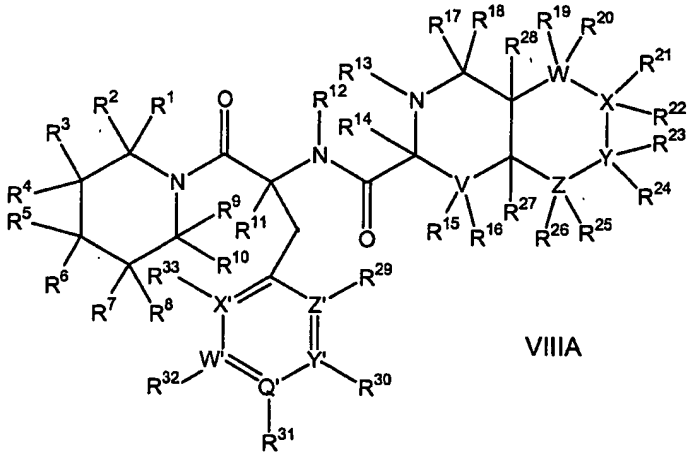
VIIB

wherein the dotted lines in the 6-membered carbocyclic ring indicate that the 6-membered ring is a substituted or unsubstituted cyclohexyl or benzene ring. In this embodiment, A may be independently selected from the group consisting of a CH_2 group, a C(=O) group, a NH group, a substituted or unsubstituted N(alkyl) group, a C(H)(C(=O)-O(alkyl)) group, a C(H)(C(=O)-NH_2) group, a C(H)(C(=O)-N(H)(alkyl)) group, a C(H)(C(=O)-N(H)(aryl)) group, a C(H)(C(=O)-N(alkyl)$_2$) group, a C(H)(C(=O)-N(aryl)$_2$) group, substituted and unsubstituted alkoxyalkyl groups, and substituted and unsubstituted arylalkyl groups. In these embodiments the variables in the

formula VIIB have the same definition as defined above with respect to compounds of formula IVA.

In various embodiments of compounds of formula VIIB wherein the 6-membered carbocyclic ring is a substituted benzene ring, one substituent on the benzene ring is a group having the formula IIA or IIB, wherein $R^{1'}$, $R^{2'}$, $R^{3'}$, and $R^{4'}$ have the characteristics described above.

In accordance with yet another aspect of the invention, the invention provides a seventh group of compounds of formula VIIIA, as shown below.



VIIIA

Compounds of the invention further include prodrugs of the seventh group of compounds having the formula VIIIA, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

In the seventh group of compounds of formula VIIIA, V is selected from a carbon atom or is absent from the ring such that the carbon atom bonded to R^{27} is bonded to the carbon atom bonded to R^{14} forming a 5-membered ring.

In the seventh group of compounds of formula VIIIA, W, X, Y, and Z are independently selected from the group consisting of carbon atoms and nitrogen atoms.

In the seventh group of compounds of formula VIIIA, Q', W', X', Y', and Z' are independently selected from the group consisting of carbon atoms and nitrogen atoms. In some embodiments of the seventh group of compounds of formula VIIIA, at least one of Q', W', X', Y', and Z' is a nitrogen atom. In other embodiments of the seventh group of compounds of formula VIIIA, Q', W', X', Y', and Z' are all carbon atoms. In other embodiments of the seventh group of compounds of formula VIIIA, Q' is a nitrogen atom and W', X', Y', and Z' are all carbon atoms. In other embodiments of the seventh group of compounds of formula VIIIA, W' is a nitrogen atom and Q', X', Y', and Z' are all carbon atoms. In other embodiments of the seventh group of compounds of formula VIIIA, X' is a nitrogen atom and Q', W', Y', and Z' are all carbon atoms. In still other embodiments of the seventh group of compounds of formula VIIIA, Y' is a nitrogen atom and Q', W', X', and Z' are all carbon atoms. In still other embodiments of the seventh group of compounds of formula VIIIA, Z' is a nitrogen atom and Q', W', X', and Y' are all carbon atoms.

In the seventh group of compounds of formula VIIIA, R^1, R^2, R^3, R^4, R^7, R^8, R^9, and R^{10} are independently selected from the group consisting of H, Cl, F, Br, I, OH, -CN, $-NO_2$ substituted and unsubstituted alkoxy groups, and substituted and unsubstituted alkyl groups.

In the seventh group of compounds of formula VIIIA, R^5 and R^6 are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted -C(=O)-O(alkyl) groups, substituted and unsubstituted -C(=O)-O(aryl) groups, -C(=O)-OH groups, $-C(=O)-NH_2$ groups,

substituted and unsubstituted -C(=O)-N(H)(alkyl) groups, substituted and unsubstituted -C(=O)-N(alkyl)$_2$ groups, substituted and unsubstituted -C(=O)-N(H)(aryl) groups, substituted and unsubstituted -C(=O)-N(aryl)(alkyl) groups, substituted and unsubstituted -C(=O)-N(aryl)$_2$ groups, substituted and unsubstituted -C(=O)-N(H)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(aryl)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(heterocyclyl)$_2$ groups, and substituted and unsubstituted alkylsulfonylalkyl groups. In the seventh group of compounds of formula VIIIA, R^5 and R^6 may alternatively join together with the carbon to which they are bound form a substituted or unsubstituted carbocyclic or heterocyclic ring including carbocyclic or heterocyclic rings substituted with a group of formula IIA or IIB.

In the seventh group of compounds of formula VIIIA, R^{11} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In various embodiments of the seventh group of compounds of formula VIIIA, R^{11} is H.

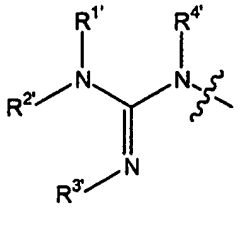
In the seventh group of compounds of formula VIIIA, R^{12} and R^{13} are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the seventh group of compounds of formula VIIIA, R^{12} and/or R^{13} is(are) H.

In the seventh group of compounds of formula VIIIA, R^{14}, R^{15}, R^{16}, R^{17}, and R^{18} are independently selected from H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In the seventh group of compounds of formula VIIIA where V is absent, R^{15} and R^{16} are also absent.

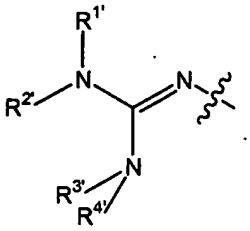
In the seventh group of compounds of formula VIIIA, R^{19}, R^{20}, R^{21}, R^{22}, R^{23}, R^{24}, R^{25}, and, R^{26} are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In the seventh group of compounds of formula VIIIA, R^{19} may be absent if W is a nitrogen atom, R^{21} may be absent if X is a nitrogen atom, R^{25} may be absent if Z is a nitrogen atom, and R^{23} may be absent if Y is a nitrogen atom. In the seventh group of compounds of formula VIIIA, R^{20} and R^{22} together can alternatively represent a double bond between the carbons bonded to R^{20} and R^{22}. In the seventh group of compounds of formula VIIIA, R^{24} and R^{26} together can alternatively represent a double bond between the carbons bonded to R^{24} and R^{26}.

In the seventh group of compounds of formula VIIIA, R^{27} and R^{28} are independently selected from the group consisting of H and substituted and unsubstituted alkyl groups. In the seventh group of compounds of formula VIIIA, R^{27} and R^{28} together may alternatively represent a double bond between the carbon atoms bonded to R^{27} and R^{28}.

In the seventh group of compounds of formula VIIIA, R^{29}, R^{30}, R^{31}, R^{32} and, R^{33} may be the same or different and are independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups having the formula IIA or IIB.



IIA



IIB

In the seventh group of compounds of formula VIIIA, R^{31} may be absent if Q' is a nitrogen atom, R^{32} may be absent if W' is a nitrogen atom, R^{33} may be absent if X' is a nitrogen atom, R^{29} may be absent if Z' is a nitrogen atom, and R^{30} may be absent if Y' is a nitrogen atom. In the seventh group of compounds of formula VIIIA , at least one of R^{29}, R^{30}, R^{31}, R^{32}, or R^{33} is a group having the formula IIA or IIB. In some embodiments of the seventh group of compounds of formula VIIIA, one of R^{29}, R^{30}, R^{31}, R^{32}, or R^{33} is a group having the formula IIA or IIB.

In the seventh group of compounds having the formula VIIIA, $R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups, and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In the seventh group of compounds of formula VIIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, may alternatively form a substituted or unsubstituted heterocyclyl or heteroaryl group. In one embodiment of the seventh group of compounds of formula VIIIA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In other embodiments of the seventh group of compounds of formula VIIIA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In still

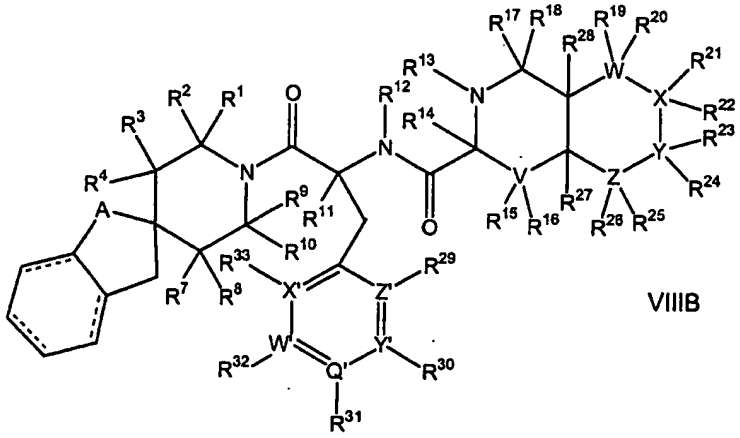
other embodiments of the seventh group of compounds of formula VIIIA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In various other embodiments of the seventh group of compounds of formula VIIIA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In still other embodiments of the seventh group of compounds of formula VIIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl group. In still further embodiments of the seventh group of compounds of formula VIIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted saturated heterocyclyl group comprising at least one heteroatom selected from the group consisting of O, S, and N, in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are bound. In other embodiments of the seventh group of compounds of formula VIIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one nitrogen heteroatom in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are both bound. In still other embodiments of the seventh group of compounds of formula VIIIA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one oxygen heteroatom. Representative examples of some of the above-described heterocyclyl embodiments include those for which $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted piperazino, morpholino, pyrrolidino, piperidino, homopiperazino, or azepino group. Still other embodiments of the seventh group of compounds having the formula VIIIA include those in which $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted piperazino group optionally substituted by one or two alkyl groups, for example, one or two methyl groups.

In the seventh group of compounds having the formula VIIIA, $R^{3'}$ is selected from the group consisting of H, substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In various embodiments of the seventh group of compounds of formula VIIIA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups. In other embodiments of the seventh group of compounds of formula VIIIA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-alkylcyclohexyl, 2,2-dialkylcyclohexyl, 2,3-dialkylcyclohexyl, 2,4-dialkylcyclohexyl, 2,5-dialkylcyclohexyl, 2,6-dialkylcyclohexyl, 3,4-dialkylcyclohexyl, 3-alkylcyclohexyl, 4-alkylcyclohexyl, 3,3,5-trialkylcyclohexyl, cyclohexylmethyl, 2-aminocyclohexyl, 3-aminocyclohexyl, 4-aminocyclohexyl, 2,3-diaminocyclohexyl, 2,4-diaminocyclohexyl, 3,4-diaminocyclohexyl, 2,5-diaminocyclohexyl, 2,6-diaminocyclohexyl, 2,2-diaminocyclohexyl, 2-alkoxycyclohexyl, 3-alkoxycyclohexyl, 4-alkoxycyclohexyl, 2,3-dialkoxycyclohexyl, 2,4-dialkoxycyclohexyl, 3,4-dialkoxycyclohexyl, 2,5-dialkoxycyclohexyl, 2,6-dialkoxycyclohexyl, 2,2-dialkoxycyclohexyl, 2-alkylthiocyclohexyl, 3-alkylthiocyclohexyl, 4-alkylthiocyclohexyl, 2,3-dialkylthiocyclohexyl, 2,4-dialkylthiocyclohexyl, 3,4-dialkylthiocyclohexyl, 2,5-dialkylthiocyclohexyl, 2,6-dialkylthiocyclohexyl, 2,2-dialkylthiocyclohexyl, cyclopentyl, cycloheptyl, cyclohexenyl, isopropyl, n-butyl, cyclooctyl, 2-arylcyclohexyl, 2-phenylcyclohexyl, 2-arylalkylcyclohexyl, 2-benzylcyclohexyl, 4-phenylcyclohexyl, adamantyl, isocamphenyl, carenyl, 7,7-dialkylnorbornyl, bornyl, norbornyl, and decalinyl groups. In still other embodiments of the seventh group of compounds of formula VIIIA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-methylcyclohexyl, 2,2-dimethylcyclohexyl, 2,3-dimethylcyclohexyl, 2,4-dimethylcyclohexyl, 2,5-dimethylcyclohexyl, 2,6-dimethylcyclohexyl, 3,4-dimethylcyclohexyl, 3-methylcyclohexyl, 4-methylcyclohexyl, cyclohexenyl, 3,3,5-trimethylcyclohexyl, 4-*t*-butylcyclohexyl, 2-methylcycloheptyl,

cyclohexylmethyl, isopinocampheyl, 7,7-dimethylnorbornyl, 4-isopropylcyclohexyl, and 3-methylcycloheptyl groups.

In the seventh group of compounds having the formulas VIIIA, $R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups. In various embodiments of the seventh group of compounds of formula VIIIA, $R^{4'}$ is H.

In one embodiment of the seventh group of compounds of formula VIIIA, the compound of formula VIIIA has the formula VIIIB below



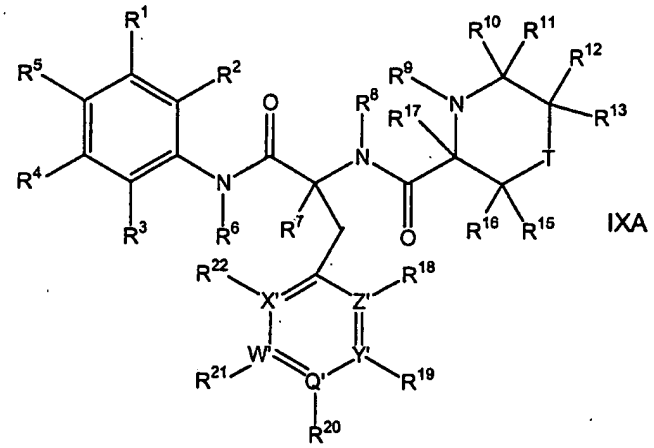
VIIIB

wherein the dotted lines in the 6-membered carbocyclic ring indicate that the 6-membered ring is a substituted or unsubstituted cyclohexyl or benzene ring. In these embodiments, A may be independently selected from the group consisting of a CH_2 group, a C(=O) group, a NH group, a substituted or unsubstituted N(alkyl) group, a C(H)(C(=O)-O(alkyl)) group, a C(H)(C(=O)-NH_2) group, a C(H)(C(=O)-N(H)(alkyl)) group, a C(H)(C(=O)-N(H)(aryl)) group, a C(H)(C(=O)-N(alkyl)$_2$) group, a C(H)(C(=O)-N(aryl)$_2$) group, substituted and unsubstituted alkoxyalkyl groups, and substituted and unsubstituted arylalkyl groups. In these embodiments the variables in the

formula VIIIB have the same definition as defined above with respect for compounds of formula VIIIA.

In various embodiments of compounds of formula VIIIB wherein the 6-membered carbocyclic ring is a substituted benzene ring, one substituent on the benzene ring is a group having the formula IIA or IIB, wherein $R^{1'}$, $R^{2'}$, $R^{3'}$, and $R^{4'}$ have the characteristics described above

In accordance with yet another aspect of the invention, the invention provides an eighth group of compounds of formula IXA, as shown below.



IXA

Compounds of the invention further include prodrugs of the eighth group of compounds of formula IXA, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

In the eighth group of compounds of formula IXA, T may be selected from the group consisting of O, S, and NR^{14} groups.

In the eighth group of compounds of formula IXA, Q', W', X', Y', and Z' are independently selected from the group consisting of carbon atoms and nitrogen atoms. In some embodiments of the eighth group of compounds of formula IXA, at least one of Q', W', X', Y', and Z' is a nitrogen atom. In

other embodiments of the eighth group of compounds of formula IXA, Q', W', X', Y', and Z' are all carbon atoms. In other embodiments of the eighth group of compounds of formula IXA, Q' is a nitrogen atom and W', X', Y', and Z' are all carbon atoms. In other embodiments of the eighth group of compounds of formula IXA, W' is a nitrogen atom and Q', X', Y', and Z' are all carbon atoms. In other embodiments of the eighth group of compounds of formula IXA, X' is a nitrogen atom and Q', W', Y', and Z' are all carbon atoms. In still other embodiments of the eighth group of compounds of formula IXA, Y' is a nitrogen atom and Q', W', X', and Z' are all carbon atoms. In still other embodiments of the eighth group of compounds of formula IXA, Z' is a nitrogen atom and Q', W', X', and Y' are all carbon atoms.

In the eighth group of compounds of formula IXA, R^1, R^2, R^3, R^4, and R^5 may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB.

In the eighth group of compounds of formula IXA, R^6 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted alkynyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the eighth group of compounds of formula IXA, R^6 is H.

In the eighth group of compounds of formula IXA, R^7 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In various embodiments of the eighth group of compounds of formula IXA, R^7 is H.

In the eighth group of compounds of formula IXA, R^8 is selected from the group consisting H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the eighth group of compounds of formula IXA, R^8 is H.

In the eighth group of compounds of formula IXA, R^9 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups. In various embodiments of the eighth group of compounds of formula IXA, R^9 is H.

In the eighth group of compounds of formula IXA, R^{10}, R^{11}, R^{12}, R^{13}, R^{15}, and R^{16} are selected from the group consisting of H, Cl, F, Br, I, -CN, -OH, $-NO_2$, substituted and unsubstituted aryl, substituted and unsubstituted –C(=O)-alkyl groups, substituted and unsubstituted alkylcarbonylalkyl groups, substituted and unsubstituted alkoxy groups, substituted and unsubstituted

aryloxy groups, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted $-NH_2$ groups, substituted and unsubstituted N(H)(alkyl) groups, substituted and unsubstituted –NH(aryl) groups, substituted and unsubstituted –NH(heterocyclyl) groups, substituted and unsubstituted –N(alkyl)(aryl) groups, substituted and unsubstituted –N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -N(aryl)(heterocyclyl) groups, substituted and unsubstituted $-N(alkyl)_2$ groups, substituted and unsubstituted $-N(aryl)_2$ groups, substituted and unsubstituted $-N(heterocyclyl)_2$ groups, -C(=O)-OH groups, substituted and unsubstituted -C(=O)-O(alkyl) groups, substituted and unsubstituted amide groups, substituted and unsubstituted sulfone groups, and substituted and unsubstituted sulfonamide groups.

In the eighth group of compounds of formula IXA, R^{14} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups.

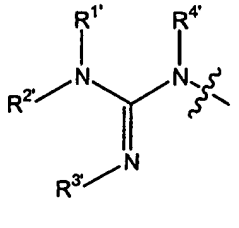
In one embodiment of the eighth group of compounds of formula IXA, T is an NR^{14} group and R^{13} and R^{14} together with the two atoms to which they are bound form a substituted or unsubstituted heteroocyclic ring comprising 6 members.

In the eighth group of compounds of formula IXA, R^{11} and R^{13} together with the carbon atoms to which they are bound, may alternatively form a substituted or unsubstituted, saturated or unsaturated carbocyclic or

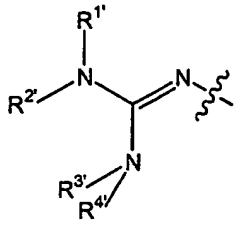
heterocyclic ring comprising 5 or 6 members. In the eighth group of compounds of formula IXA, R^{13} and R^{14} together with the atoms to which they are bound, may alternatively form a substituted or unsubstituted, saturated or unsaturated heterocyclic ring comprising 5 or 6 members;

In the eighth group of compounds of formula IXA, R^{17} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups. In various embodiments of the eighth group of compounds of formula IXA, R^{17} is H.

In the eighth group of compounds of formula IXA, R^{18}, R^{19}, R^{20}, R^{21}, and R^{22} may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB.



IIA



IIB

In the eighth group of compounds of formula IXA, R^{21} may be absent if W' is a nitrogen atom, R^{22} may be absent if X' is a nitrogen atom, R^{18} may be absent if Z' is a nitrogen atom, R^{19} may be absent if Y' is a nitrogen atom, and R^{20} may be absent if Q' is a nitrogen atom. In the eighth group of compounds of formula IXA, at least one of R^{18}, R^{19}, R^{20}, R^{21}, or R^{22} is a group having the formula IIA or IIB. In one embodiment of the eighth group of

compounds of formula IXA, Q' is a carbon atom and R^{20} is a group of formula IIA or IIB. In some embodiments of the eighth group of compounds of formula IXA, at one of R^{18}, R^{19}, R^{20}, R^{21}, or R^{22} is a group having the formula IIA or IIB

In the eighth group of compounds of formula IXA, $R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups, and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In the eighth group of compounds of formula IXA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl or heteroaryl group. In some embodiments of the eighth group of compounds of formula IXA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In other embodiments of the eighth group of compounds of formula IXA, $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In still other embodiments of the eighth group of compounds of formula IXA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups. In various embodiments of the eighth group of compounds of formula IXA, $R^{1'}$ and $R^{2'}$ may be the same or different and are each independently selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups. In one embodiment of the eighth group of compounds of formula IXA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl group. In other embodiments of the eighth group of compounds of formula VIIIA, $R^{1'}$

and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted saturated heterocyclyl group comprising at least one heteroatom selected from the group consisting of O, S, and N, in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are bound. In other embodiments of the eighth group of compounds of formula IXA, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one nitrogen heteroatom in addition to the nitrogen atom to which $R^{1'}$ and $R^{2'}$ are both bound. In still other embodiments, $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl ring containing at least one oxygen heteroatom. Representative examples of some of the above-described heterocyclyl embodiments include those for which $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted piperazino, morpholino, pyrrolidino, piperidino, homopiperazino, or azepino group. Other embodiments of the eighth group of compounds of formula IXA include those in which $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted piperazino group optionally substituted by one or two alkyl groups, for example, one or two methyl groups.

In the eighth group of compounds of formula IXA, $R^{3'}$ is selected from the group consisting of H, substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups. In one embodiment of the eighth group of compounds of formula IXA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups. In other embodiments of the eighth group of compounds of formula IXA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-alkylcyclohexyl, 2,2-dialkylcyclohexyl, 2,3-dialkylcyclohexyl, 2,4-dialkylcyclohexyl, 2,5-dialkylcyclohexyl, 2,6-dialkylcyclohexyl, 3,4-dialkylcyclohexyl, 3-alkylcyclohexyl, 4-alkylcyclohexyl, 3,3,5-trialkylcyclohexyl, cyclohexylmethyl, 2-aminocyclohexyl, 3-aminocyclohexyl, 4-aminocyclohexyl, 2,3-

diaminocyclohexyl, 2,4-diaminocyclohexyl, 3,4-diaminocyclohexyl, 2,5-diaminocyclohexyl, 2,6-diaminocyclohexyl, 2,2-diaminocyclohexyl, 2-alkoxycyclohexyl, 3-alkoxycyclohexyl, 4-alkoxycyclohexyl, 2,3-dialkoxycyclohexyl, 2,4-dialkoxycyclohexyl, 3,4-dialkoxycyclohexyl, 2,5-dialkoxycyclohexyl, 2,6-dialkoxycyclohexyl, 2,2-dialkoxycyclohexyl, 2-alkylthiocyclohexyl, 3-alkylthiocyclohexyl, 4-alkylthiocyclohexyl, 2,3-dialkylthiocyclohexyl, 2,4-dialkylthiocyclohexyl, 3,4-dialkylthiocyclohexyl, 2,5-dialkylthiocyclohexyl, 2,6-dialkylthiocyclohexyl, 2,2-dialkylthiocyclohexyl, cyclopentyl, cycloheptyl, cyclohexenyl, isopropyl, n-butyl, cyclooctyl, 2-arylcyclohexyl, 2-phenylcyclohexyl, 2-arylalkylcyclohexyl, 2-benzylcyclohexyl, 4-phenylcyclohexyl, adamantyl, isocamphenyl, carenyl, 7,7-dialkylnorbornyl, bornyl, norbornyl, and decalinyl groups. In other embodiments of the eighth group of compounds of formula IXA, $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cyclohexyl, 2-methylcyclohexyl, 2,2-dimethylcyclohexyl, 2,3-dimethylcyclohexyl, 2,4-dimethylcyclohexyl, 2,5-dimethylcyclohexyl, 2,6-dimethylcyclohexyl, 3,4-dimethylcyclohexyl, 3-methylcyclohexyl, 4-methylcyclohexyl, cyclohexenyl, 3,3,5-trimethylcyclohexyl, 4-*t*-butylcyclohexyl, 2-methylcycloheptyl, cyclohexylmethyl, isopinocampheyl, 7,7-dimethylnorbornyl, 4-isopropylcyclohexyl, and 3-methylcycloheptyl groups.

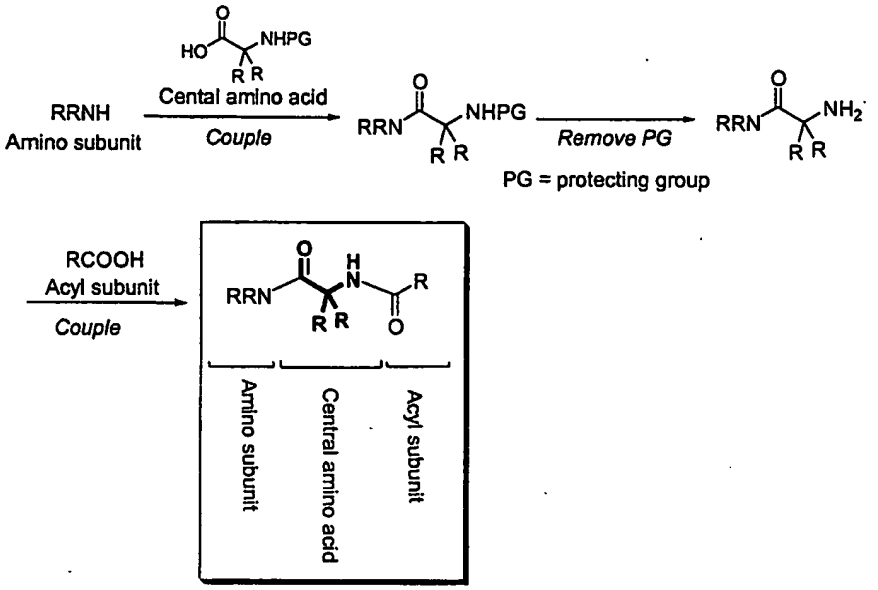
In the eighth group of compounds of formula IXA, $R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups. In various embodiments of the eighth group of compounds of formula IXA, $R^{4'}$ is H.

Another aspect of the invention provides a composition comprising at least one of the compounds represented by the above-listed formulas and a pharmaceutically acceptable carrier.

Another aspect of the invention provides a method of treating an MC4-R mediated disease, comprising administering to a subject in need thereof, at least one of the compounds represented by the above-listed formulas. The method may be used to treat diseases and complications arising from diseases which include obesity or type II diabetes. Additionally, the method may be used to treat erectile dysfunction, polycystic ovary disease, and Syndrome X.

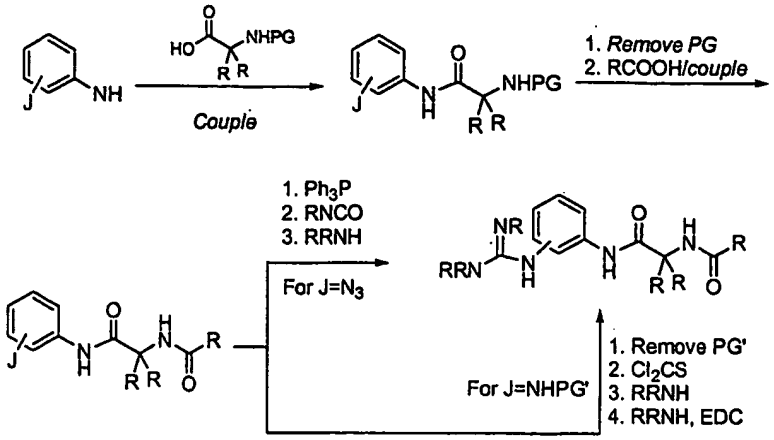
The compounds of the invention may generally be assembled through peptide couplings. The reagents and conditions employed in these couplings to form amide bonds are familiar to one of skill in the art. Examples of these coupling conditions can also be found in the review article "Chemical Synthesis of Natural Product Peptides: Coupling Methods for the Incorporation of Noncoded Amino Acids into Peptides" (Humphrey, J. M.; Chamberlin, A. R.; Chem. Rev. 1997, 97, p 2243-2256).

One aspect of the invention involves coupling three building blocks: an amino subunit, a central amino acid moiety, and an acyl subunit. The amino subunit is first coupled with the central amino acid moiety containing a nitrogen protecting group. Various common nitrogen protecting groups, such as those listed in "Protective Groups in Organic Synthesis 2nd ed." (Greene, T. W.; Wuts, P. G. M; John Wiley & Sons, Inc.; New York: 1991), may be useful in increasing the efficiency of the coupling reaction with respect to solubility, yield, and chemical and optical purity. After removing the protecting group following coupling, the exposed nitrogen is then coupled with the acyl subunit. Additional functional group or protecting group manipulations may also be required either before or after the coupling steps to generate certain compounds of the invention. Further examples of starting materials for the amino, central amino acid, and acyl subunits and their preparations may be found in WO 00/74679, WO 99/64002, WO 01/70337, and WO 01/70708 which are hereby incorporated by reference. The above-described process can be summarized in the following synthesis scheme:



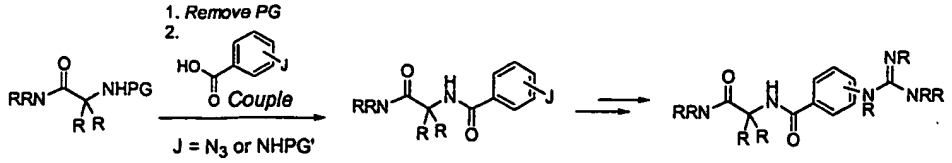
Various compounds of the invention may contain a guanidinoaryl moiety. This functionality may generally be prepared as described in U.S. Provisional Patent Application Nos. 60/230,565 and 60/245,579 and in U.S. Patent Application No. 09/945,384, which are herein incorporated by reference.

The amino subunit starting materials may also include guanidinoarylamines or aryl amines containing a handle for introducing guanidino substituents after amide bond coupling. For example, azidoarylamines (J = N_3 in scheme below) may be used as the coupling precursors. After the remaining subunits are assembled, the azide may be sequentially treated with a reducing agent, an isocyanate, and an amine to give the desired guanidino substituent. Alternatively a monoprotected aryl diamine (J = NHPG') may also be used. The guanidino unit may be attached by reacting the deprotected aryl amino moiety sequentially with thiophosgene, a first amine, and finally a second amine. The above-described process can be summarized in the following synthesis scheme:

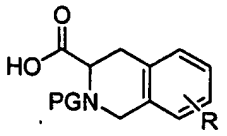


The starting materials for the central amino acid moiety may also be obtained from commercial sources such as Bachem (King of Prussia, PA) or may be prepared following know methods for the synthesis of unnatural amino acids. Representative examples of these methods may be found in the following reviews and articles: "Highly Practical Methodology for the synthesis of D- and L-α-Amino Acids, *N*-Protected α-Amino Acids, and *N*-Methyl-α-amino acids" (Myers, A.G.; Gleason, J. L.; Yoon, T.; Kung, D. W. J. Am. Chem. Soc, 1997, 119, 656-673), "Recent Developments in the Stereoselective Synthesis of α-aminoacids" (R. Duthaler, Tetrahedron, 1994, 50, p.1539-1650), and "Organic Chemistry Series Volume 7: Synthesis of Optically Active a-Amino Acids (Williams, R. M.; Permagon Press: Oxford, 1989).

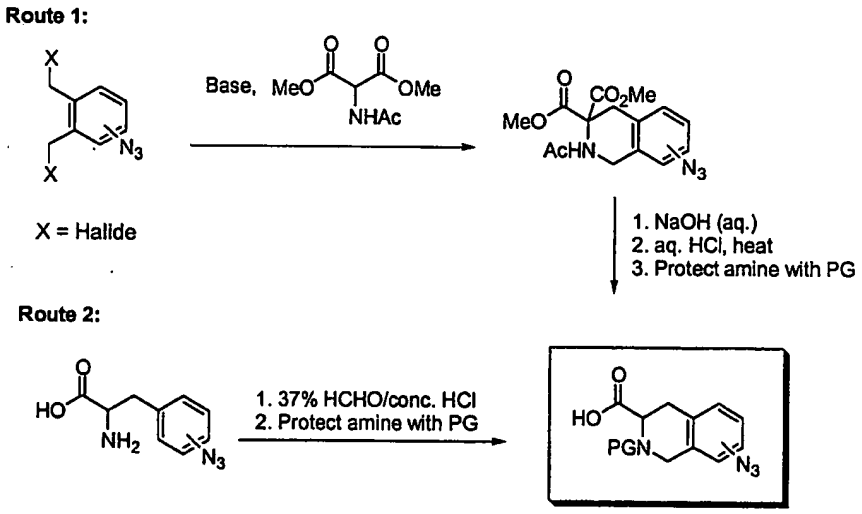
The acyl subunit may also include a guanidinoaryl moiety. This functionality may be introduced by using azido-substituted or monoamine protected benzoic acids as the starting materials in the appropriate coupling step (see scheme below). The azide or protected amine may then be converted to a guanidino group in the same manner as described above.



Other examples of starting materials for the acyl subunits include the bicyclic acids below prepared and described in WO 00/74679 and WO 99/64002.



In one aspect of the instant invention the R substituent is a guanidino group or a latent guanidino group masked as a protected amine or as an azide. This temporary functionality may later be converted to a guanidino group in the same manner as described above. An example is shown in the scheme below.



The instant invention also provides for compositions which may be prepared by mixing one or more compounds of the instant invention, or pharmaceutically acceptable salts or tautomers thereof, with pharmaceutically acceptable carriers, excipients, binders, diluents or the like, to treat or ameliorate a variety of disorders. Examples of such disorders include, but are not limited to obesity, erectile disorders, cardiovascular disorders, neuronal injuries or disorders, inflammation, fever, cognitive disorders, sexual behavior disorders. A therapeutically effective dose further refers to that amount of one

or more compounds of the instant invention sufficient to result in amelioration of symptoms of the disorder. The pharmaceutical compositions of the instant invention can be manufactured by methods well known in the art such as conventional granulating, mixing, dissolving, encapsulating, lyophilizing, emulsifying or levigating processes, among others. The compositions can be in the form of, for example, granules, powders, tablets, capsules, syrup, suppositories, injections, emulsions, elixirs, suspensions or solutions. The instant compositions can be formulated for various routes of administration, for example, by oral administration, by intranasal administration, by transmucosal administration, by rectal administration, or subcutaneous administration as well as intrathecal, intravenous, intramuscular, intraperitoneal, intranasal, intraocular or intraventricular injection. The compound or compounds of the instant invention can also be administered in a local rather than a systemic fashion, such as injection as a sustained release formulation. The following dosage forms are given by way of example and should not be construed as limiting the instant invention.

For oral, buccal, and sublingual administration, powders, suspensions, granules, tablets, pills, capsules, gelcaps, and caplets are acceptable as solid dosage forms. These can be prepared, for example, by mixing one or more compounds of the instant invention, or pharmaceutically acceptable salts or tautomers thereof, with at least one additive or excipient such as a starch or other additive. Suitable additives or excipients are sucrose, lactose, cellulose sugar, mannitol, maltitol, dextran, sorbitol, starch, agar, alginates, chitins, chitosans, pectins, tragacanth gum, gum arabic, gelatins, collagens, casein, albumin, synthetic or semi-synthetic polymers or glycerides, methyl cellulose, hydroxypropylmethyl-cellulose, and/or polyvinylpyrrolidone. Optionally, oral dosage forms can contain other ingredients to aid in administration, such as an inactive diluent, or lubricants such as magnesium stearate, or preservatives such as paraben or sorbic acid, or anti-oxidants such as ascorbic acid, tocopherol or cysteine, a disintegrating agent, binders, a thickeners, buffers, a sweeteners, flavoring

agents or perfuming agents. Additionally, dyestuffs or pigments may be added for identification. Tablets and pills may be further treated with suitable coating materials known in the art.

Liquid dosage forms for oral administration may be in the form of pharmaceutically acceptable emulsions, syrups, elixirs, suspensions, slurries and solutions, which may contain an inactive diluent, such as water. Pharmaceutical formulations may be prepared as liquid suspensions or solutions using a sterile liquid, such as, but not limited to, an oil, water, an alcohol, and combinations of these. Pharmaceutically suitable surfactants, suspending agents, emulsifying agents, may be added for oral or parenteral administration.

As noted above, suspensions may include oils. Such oils include, but are not limited to, peanut oil, sesame oil, cottonseed oil, corn oil and olive oil. Suspension preparation may also contain esters of fatty acids such as ethyl oleate, isopropyl myristate, fatty acid glycerides and acetylated fatty acid glycerides. Suspension formulations may include alcohols, such as, but not limited to, ethanol, isopropyl alcohol, hexadecyl alcohol, glycerol and propylene glycol. Ethers, such as but not limited to, poly(ethyleneglycol), petroleum hydrocarbons such as mineral oil and petrolatum; and water may also be used in suspension formulations.

For intranasal administration (e.g., to deliver compounds to the brain), or administration by inhalation (e.g., to deliver compounds through the lungs), the pharmaceutical formulations may be a solution, a spray, a dry powder, or aerosol containing any appropriate solvents and optionally other compounds such as, but not limited to, stabilizers, antimicrobial agents, antioxidants, pH modifiers, surfactants, bioavailability modifiers and combinations of these. Examples of intranasal formulations and methods of administration can be found in WO 01/41782, WO 00/33813, WO 91/97947, U.S. Patent No. 6,180,603, and U.S. Patent No. 5,624,898. A propellant for an aerosol formulation may include compressed air, nitrogen, carbon dioxide,

or a hydrocarbon based low boiling solvent. The compound or compounds of the instant invention are conveniently delivered in the form of an aerosol spray presentation from a nebulizer or the like.

Injectable dosage forms generally include aqueous suspensions or oil suspensions which may be prepared using a suitable dispersant or wetting agent and a suspending agent. Injectable forms may be in solution phase or in the form of a suspension, which is prepared with a solvent or diluent. Acceptable solvents or vehicles include sterilized water, Ringer's solution, or an isotonic aqueous saline solution. Alternatively, sterile oils may be employed as solvents or suspending agents. Preferably, the oil or fatty acid is non-volatile, including natural or synthetic oils, fatty acids, mono-, di- or tri-glycerides.

For injection, the pharmaceutical formulation may be a powder suitable for reconstitution with an appropriate solution as described above. Examples of these include, but are not limited to, freeze dried, rotary dried or spray dried powders, amorphous powders, granules, precipitates, or particulates. For injection, the formulations may optionally contain stabilizers, pH modifiers, surfactants, bioavailability modifiers and combinations of these. The compounds may be formulated for parenteral administration by injection such as by bolus injection or continuous infusion. A unit dosage form for injection may be in ampoules or in multi-dose containers.

For rectal administration, the pharmaceutical formulations may be in the form of a suppository, an ointment, an enema, a tablet or a cream for release of compound in the intestines, sigmoid flexure and/or rectum. Rectal suppositories are prepared by mixing one or more compounds of the instant invention, or pharmaceutically acceptable salts or tautomers of the compound, with acceptable vehicles, for example, cocoa butter or polyethylene glycol, which is present in a solid phase at normal storing temperatures, and present in a liquid phase at those temperatures suitable to release a drug inside the body, such as in the rectum. Oils may also be employed in the preparation of

formulations of the soft gelatin type and suppositories. Water, saline, aqueous dextrose and related sugar solutions, and glycerols may be employed in the preparation of suspension formulations which may also contain suspending agents such as pectins, carbomers, methyl cellulose, hydroxypropyl cellulose or carboxymethyl cellulose, as well as buffers and preservatives.

Besides those representative dosage forms described above, pharmaceutically acceptable excipients and carriers are generally known to those skilled in the art and are thus included in the instant invention. Such excipients and carriers are described, for example, in "Remingtons Pharmaceutical Sciences" Mack Pub. Co., New Jersey (1991), which is incorporated herein by reference.

The formulations of the invention may be designed for to be short-acting, fast-releasing, long-acting, and sustained-releasing as described below. Thus, the pharmaceutical formulations may also be formulated for controlled release or for slow release.

The instant compositions may also comprise, for example, micelles or liposomes, or some other encapsulated form, or may be administered in an extended release form to provide a prolonged storage and/or delivery effect. Therefore, the pharmaceutical formulations may be compressed into pellets or cylinders and implanted intramuscularly or subcutaneously as depot injections or as implants such as stents. Such implants may employ known inert materials such as silicones and biodegradable polymers.

A therapeutically effective dose refers to that amount of the compound that results in amelioration of symptoms. Specific dosages may be adjusted depending on conditions of disease, the age, body weight, general health conditions, sex, diet of the subject, dose intervals, administration routes, excretion rate, and combinations of drugs. Any of the above dosage

forms containing effective amounts are well within the bounds of routine experimentation and therefore, well within the scope of the instant invention. A therapeutically effective dose may vary depending upon the route of administration and dosage form. The preferred compound or compounds of the instant invention is a formulation that exhibits a high therapeutic index. The therapeutic index is the dose ratio between toxic and therapeutic effects which can be expressed as the ratio between LD50 and ED50. The LD50 is the dose lethal to 50% of the population and the ED50 is the dose therapeutically effective in 50% of the population. The LD50 and ED50 are determined by standard pharmaceutical procedures in animal cell cultures or experimental animals.

The present invention also provides methods of enhancing MC4-R activity in a human or non-human animal. The method comprises administering an effective amount of a compound, or composition, of the instant invention to said mammal or non-human animal. Effective amounts of the compounds of the instant invention include those amounts that activate MC4-R which are detectable, for example, by an assay described below, or any other assay known by those skilled in the art that detect signal transduction, in a biochemical pathway, through activation of G-protein coupled receptors, for example, by measuring an elevated cAMP level as compared to a control model. Accordingly, "activating" means the ability of a compound to initiate a detectable signal. Effective amounts may also include those amounts which alleviate symptoms of a MC4-R disorder treatable by activating MC4-R.

An MC4-R disorder, or MC4-R-mediated disease, which may be treated by those methods provided, include any biological disorder or disease in which MC4-R is implicated, or which inhibition of MC4-R potentiates a biochemical pathway that is defective in the disorder or disease state. Examples of such diseases are obesity, erectile disorders, cardiovascular disorders, neuronal injuries or disorders, inflammation, fever, cognitive

disorders, type II diabetes, polycystic ovary disease, Syndrome X, complications from obesity and diabetes, and sexual behavior disorders. In a preferred embodiment, the instant invention provides compounds, compositions, and methods effective for reducing energy intake and body weight; reducing serum insulin and glucose levels; alleviating insulin resistance; and reducing serum levels of free fatty acids. Accordingly, the instant invention is particularly effective in treating those disorders or diseases associated with obesity or type II diabetes.

"Treating" within the context of the instant invention, therefore, means an alleviation of symptoms associated with a disorder or disease, or halt of further progression or worsening of those symptoms, or prevention or prophylaxis of the disease or disorder. For example, within the context of obesity, successful treatment may include an alleviation of symptoms or halting the progression of the disease, as measured by reduction in body weight, or a reduction in amount of food or energy intake. In this same vein, successful treatment of type I or type II diabetes may include an alleviation of symptoms or halting the progression of the disease, as measured by a decrease in serum glucose or insulin levels in, for example, hyperinsulinemic or hyperglycemic patients.

EC_{50} values of test compounds may be determined by treating cells expressing MC4-R with test compound and lysing the cells and measuring intercellular cAMP concentration with an Amersham-Pharmacia RPA-559 cAMP Scintillation Proximity Assay (SPA) kit. The compounds of the invention having the various formula IA through IXA are tested and display -log EC_{50} values above about 3.

In vivo studies are conducted to observe the effect of MCR-4 agonists on energy intake, body weight, hyperinsulinemia, and glucose levels. All studies are conducted with male 9-10 week old ob/ob mice which display early onset of obesity, insulin resistance and diabetes due to leptin deficiency. Mice are acclimated in the facility for 1 week before studies and are caged

individually. Vehicle-treated (control) and drug treated mice studies are always run in parallel. In multi-day studies, mice (8-15 per group) are monitored for baseline body weight, fasting levels of glucose, insulin, blood lipids and energy expenditure and then injected twice daily (9 a.m. and 5 p.m.) with 3 mg/kg of a MC4-R agonist of the present invention for 4 weeks. Body weight as well as food and water intake are monitored daily. Animals are fasted overnight for measurements of fasting levels of glucose, insulin, and lipids once a week until the end of the study. Energy expenditure (resting metabolic rate, i.e., O_2 consumption and CO_2 production) are monitored in air tight chambers at the end of the study on fed animals. O_2 consumption and CO_2 production are measured using Oxymax systems (Columbus Instruments). Oral glucose tolerance test (OGTT – a routine test for diabetes and glucose intolerance) is performed on overnight fasted mice at the end of the study. Blood glucose and oral glucose tolerance are measured using a glucose monitor (Onetouch sold by Lifescan). Free fatty acids are measured using an non-esterified free fatty acids enzymatic assay (Waco Chemicals). Serum Insulin levels are measured by immunoassay (Alpco).

The effect of the compounds of the present invention on food intake is determined by measuring grams/mouse/day throughout a 4 week study. Food is monitored every morning. Cumulative food intake represents the total amount of grams the mice consume during the study. A significant reduction in food intake is demonstrated in those mice treated IP with the compounds of the present invention.

The effect of the compounds of the present invention on body weight is determined by measuring grams/mouse throughout a 4 week study. Mice are weighed every morning. A significant body weight reduction is demonstrated in those mice treated IP with the compounds of the present invention.

The effect of the compounds of the present invention on blood glucose levels is determined by measuring blood glucose levels as

represented as mg of glucose/dL of blood. Mice are fasted overnight and glucose levels are measured the following morning. Vehicle treated mice show an increase in blood glucose consistent with the rapid progression of diabetes in this mouse strain whereas, diabetes is slowed down considerably in drug treated mice. A significant reduction in fasting glucose levels is demonstrated in those mice treated IP with the compounds of this invention.

The effect of the compounds of the present invention on glucose levels during oral glucose tolerance test (OGTT) is determined by measuring blood glucose in overnight fasted mice. Blood glucose is represented as mg of glucose/dL of blood. Glucose levels are measured the following morning. Orally administered glucose quickly elevates blood glucose, similar to a meal, and the response to this exogenous glucose gives a measure of how well the body regulated glucose homeostasis. Vehicle treated mice show an elevated response to glucose consistent with their diabetic state, whereas drug treated mice show a very much improved glucose disposal.

The effect of the compounds of the present invention on free fatty acid (FFA) levels is determined by measuring mmoles of FFA/L of serum. Mice are fasted overnight and free fatty acid levels are measured the following morning. Vehicle treated mice show elevated levels of FFA throughout the study consistent with their obese state, whereas the drug treated mice diabetes show a dramatic decrease.

The effect of the compounds of the present invention on serum insulin levels is determined by measuring serum insulin levels one hour after single IP dosing of I and 3 mg/kg in overnight fasted ob/ob mice. Serum insulin levels are represented as ng of insulin/mL of serum. Drug treated mice show a dose dependent decrease relative to vehicle.

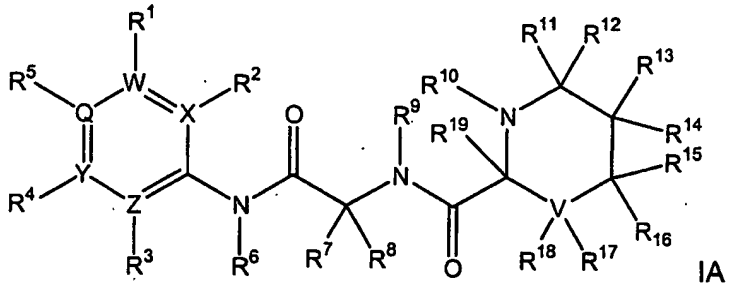
It is understood that the invention is not limited to the embodiments specifically set forth herein for illustration, but embraces all such

forms thereof as would be understood by one of skill in the art and come within the scope of the following claims.

CLAIMS

What is claimed is:

1. A compound of formula IA



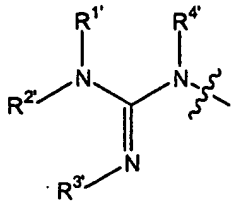
IA

wherein

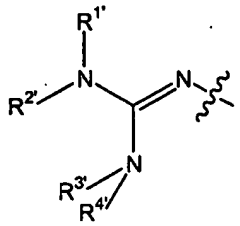
V is selected from a carbon atom or is absent from the ring such that the carbon atom bonded to R^{16} is bonded to the carbon atom bonded to R^{19} forming a 5-membered ring;

Q, W, X, Y, and Z are independently selected from the group consisting of carbon atoms and nitrogen atoms;

R^1, R^2, R^3, R^4, and R^5 may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB;



IIA



IIB

wherein R^1 may be absent if W is a nitrogen atom;

wherein R^2 may be absent if X is a nitrogen atom;

wherein R^3 may be absent if Z is a nitrogen atom;

wherein R^4 may be absent if Y is a nitrogen atom;

wherein R^5 may be absent if Q is a nitrogen atom;

wherein one of R^1, R^2, R^3, R^4, or R^5 is a group having the formula IIA or IIB;

$R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

or $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl or heteroaryl group;

$R^{3'}$ is selected from the group consisting of H, substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups;

R^6 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted alkynyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^7 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

R^8 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups including arylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heteroarylalkyl groups including heteroarylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^9 is selected from the group consisting H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl

groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^{10} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^{11}, R^{12}, R^{13}, R^{16}, R^{17}, and R^{18} are selected from the group consisting of H, Cl, F, Br, I, -CN, -OH, $-NO_2$, substituted and unsubstituted aryl, substituted and unsubstituted –C(=O)-alkyl groups, substituted and unsubstituted alkylcarbonylalkyl groups, substituted and unsubstituted alkoxy groups, substituted and unsubstituted aryloxy groups, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted $-NH_2$ groups, substituted and unsubstituted N(H)(alkyl) groups, substituted and unsubstituted –NH(aryl) groups, substituted and unsubstituted –NH(heterocyclyl) groups, substituted and unsubstituted –N(alkyl)(aryl) groups, substituted and unsubstituted –N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -N(aryl)(heterocyclyl) groups, substituted and unsubstituted –

$N(alkyl)_2$ groups, substituted and unsubstituted $-N(aryl)_2$ groups, substituted and unsubstituted $-N(heterocyclyl)_2$ groups, -C(=O)-OH groups, substituted and unsubstituted -C(=O)-O(alkyl) groups; substituted and unsubstituted amide groups, substituted and unsubstituted sulfone groups, and substituted and unsubstituted sulfonamide groups;

wherein R^{13} and R^{16} together can represent a double bond between the carbon atoms bonded to R^{13} and R^{16};

wherein R^{17} and R^{18} are absent if V is absent;

R^{14} and R^{15} are selected from the group consisting of H, and substituted and unsubstituted alkyl groups;

or R^{14} and R^{15} together with the two carbon atoms to which they are bound form a substituted or unsubstituted, saturated or unsaturated, carbocyclic or heterocyclic ring comprising 5, 6, or 7 members;

R^{19} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups; and

prodrugs thereof, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

2. A compound of claim 1, wherein one or more of R^{19}, R^6, R^9, R^{10}, R^7, and $R^{4'}$ is H.

3. A compound of claim 1, wherein R^8 is selected from the group consisting of substituted and unsubstituted arylalkyl groups, and substituted and unsubstituted heteroarylalkyl groups.

4. A compound of claim 1, wherein $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups.

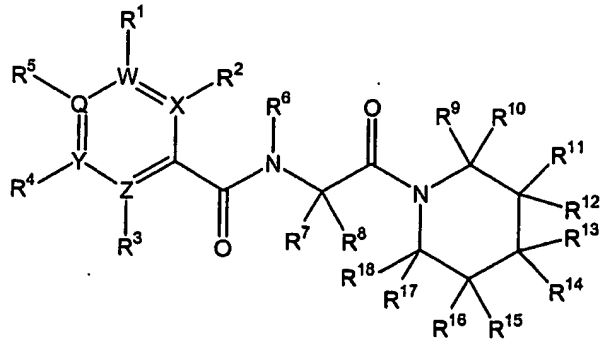
5. A compound of claim 1, wherein $R^{1'}$ is H, and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups.

6. A compound of claim 1, wherein $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl group.

7. A compound of claim 1, wherein R^{14} and R^{15} together with the two carbon atoms to which they are bound form a substituted or unsubstituted carbocyclic ring comprising 6 members.

8. A compound of claim 1, wherein Q is a carbon atom and R^5 is a group of formula IIA or IIB.

9. A compound of formula IIIA:

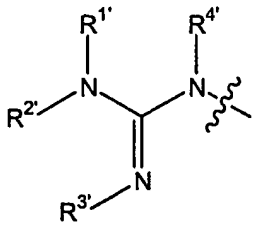


IIIA

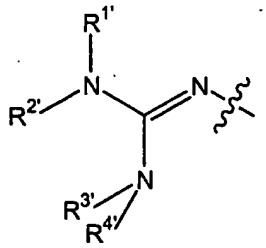
wherein

Q, W, X, Y, and Z are independently selected from the group consisting of carbon atoms and nitrogen atoms;

R^1, R^2, R^3, R^4, and R^5 may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB;



IIA



IIB

wherein R^1 may be absent if W is a nitrogen atom;

wherein R^2 may be absent if X is a nitrogen atom;

wherein R^3 may be absent if Z is a nitrogen atom;

wherein R^4 may be absent if Y is a nitrogen atom;

wherein R^5 may be absent if Q is a nitrogen atom;

wherein one of R^1, R^2, R^3, R^4, or R^5 is a group having the formula IIA or IIB;

$R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

or $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl or heteroaryl group;

$R^{3'}$ is selected from the group consisting of H and substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups;

R^6 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted alkynyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^7 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

R^8 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups including arylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heteroarylalkyl

groups including heteroarylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^9, R^{10}, R^{11}, R^{12}, R^{15}, R^{16}, R^{17}, and R^{18} are selected from the group consisting of H, Cl, F, Br, I, OH, -CN, $-NO_2$ substituted and unsubstituted alkoxy groups, and substituted and unsubstituted alkyl groups;

R^{13} and R^{14} are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted -C(=O)-O(alkyl) groups, substituted and unsubstituted -C(=O)-O(aryl) groups, -C(=O)-OH groups, $-C(=O)-NH_2$ groups, substituted and unsubstituted -C(=O)-N(H)(alkyl) groups, substituted and unsubstituted $-C(=O)-N(alkyl)_2$ groups, substituted and unsubstituted -C(=O)-N(H)(aryl) groups, substituted and unsubstituted -C(=O)-N(aryl)(alkyl) groups, substituted and unsubstituted $-C(=O)-N(aryl)_2$ groups, substituted and unsubstituted -C(=O)-N(H)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(aryl)(heterocyclyl) groups, substituted and unsubstituted $-C(=O)-N(heterocyclyl)_2$ groups; and substituted an unsubstituted alkylsulfonylalkyl groups;

or R^{13} and R^{14} may join together with the carbon atom to which they are bound to form a substituted or unsubstituted carbocyclic or heterocyclic ring; and

prodrugs thereof, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

10. A compound of claim 9, wherein one or more of $R^{4'}$, R^6, and R^7 is H.

11. A compound of claim 9, wherein R^8 is selected from the group consisting of substituted and unsubstituted arylalkyl groups, and substituted and unsubstituted heteroarylalkyl groups.

12. A compound of claim 9, wherein $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups.

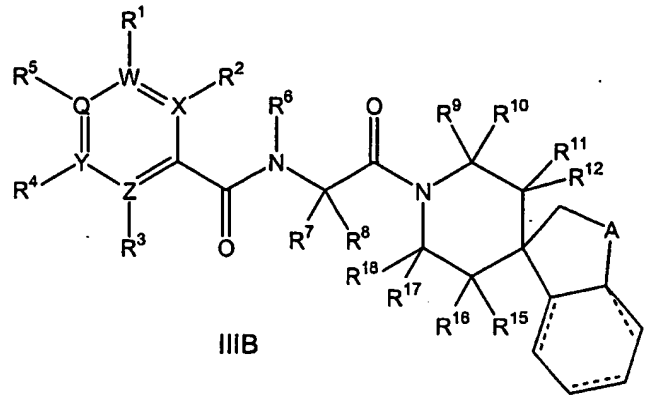
13. A compound of claim 9, wherein $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups.

14. A compound of claim 9, wherein $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound form, a substituted or unsubstituted heterocyclyl group.

15. A compound of claim 9, wherein R^{13} and R^{14}, together with the carbon atom to which they are bound, form a substituted or unsubstituted carbocyclic or heterocyclic ring.

16. A compound of claim 9, wherein Q is a carbon atom and R^5 is a group of formula IIA or IIB.

17. A compound of claim 9 having formula IIIB:

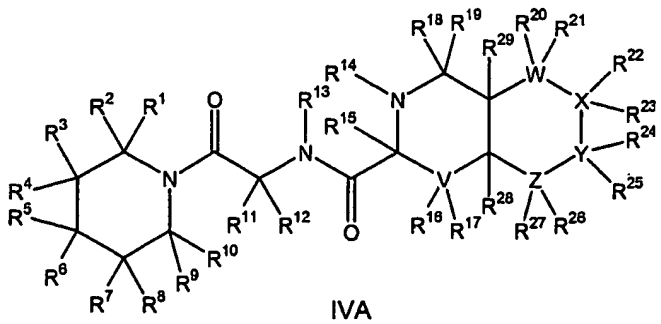


IIIB

wherein the dotted lines in the 6-membered carbocyclic ring indicate that the 6-membered ring is a substituted or unsubstituted cyclohexyl or benzene ring; and

further wherein A is independently selected from the group consisting of a CH_2 group, a C(=O) group, a NH group, a substituted or unsubstituted N(alkyl) group, a C(H)(C(=O)-O(alkyl)) group, a C(H)(C(=O)-NH_2) group, a C(H)(C(=O)-N(H)(alkyl)) group, a C(H)(C(=O)-N(H)(aryl)) group, a C(H)(C(=O)-N(alkyl)$_2$) group, a C(H)(C(=O)-N(aryl)$_2$) group, substituted and unsubstituted alkoxyalkyl groups, and substituted and unsubstituted arylalkyl groups.

18. A compound of formula IVA:



IVA

wherein

V is selected from a carbon atom or is absent from the ring such that the carbon atom bonded to R^{28} is bonded to the carbon atom bonded to R^{15} forming a 5-membered ring.

W, X, Y, and Z are independently selected from the group consisting of carbon atoms and nitrogen atoms;

R^1, R^2, R^3, R^4, R^7, R^8, R^9, and R^{10} are independently selected from the group consisting of H, Cl, F, Br, I, OH, -CN, $-NO_2$ substituted and unsubstituted alkoxy groups, and substituted and unsubstituted alkyl groups;

R^5 and R^6 are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted -C(=O)-O(alkyl) groups, substituted and unsubstituted -C(=O)-O(aryl) groups, -C(=O)-OH groups, $-C(=O)-NH_2$ groups, substituted and unsubstituted -C(=O)-N(H)(alkyl) groups, substituted and unsubstituted $-C(=O)-N(alkyl)_2$ groups, substituted and unsubstituted -C(=O)-N(H)(aryl) groups, substituted and unsubstituted -C(=O)-N(aryl)(alkyl) groups, substituted and unsubstituted $-C(=O)-N(aryl)_2$ groups, substituted and unsubstituted -C(=O)-N(H)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(aryl)(heterocyclyl) groups, substituted and unsubstituted $-C(=O)-N(heterocyclyl)_2$ groups; and substituted and unsubstituted alkylsulfonylalkyl groups;

wherein or R^5 and R^6 may join together with the carbon to which they are bound form a substituted or unsubstituted carbocyclic or heterocyclic ring;

R^{11} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

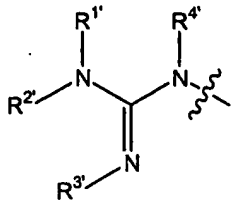
R^{12} is selected from the group consisting H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups including arylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heteroarylalkyl groups including heteroarylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^{13} and R^{14} are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

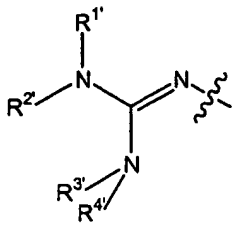
R^{15}, R^{16}, R^{17}, R^{18}, and R^{19} are independently selected from H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

wherein R^{16} and R^{17} are absent if V is absent;

R^{20}, R^{22}, R^{24}, and, R^{26} are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, and groups having the formula IIA or IIB;



IIA



IIB

wherein R^{20} may be absent if W is a nitrogen atom;

wherein R^{22} may be absent if X is a nitrogen atom;

wherein R^{24} may be absent if Y is a nitrogen atom;

wherein R^{26} may be absent if Z is a nitrogen atom;

wherein one of R^{20}, R^{22}, R^{24} or R^{26} is a group having the formula IIA or IIB;

$R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

or $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl or heteroaryl group;

$R^{3'}$ is selected from the group consisting of H, substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl,

heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups; and

R^{21}, R^{23}, R^{25}, and R^{27} are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

wherein R^{21} and R^{23} together can represent a double bond between the carbons bonded to R^{21} and R^{23};

wherein R^{25} and R^{27} together can represent a double bond between the carbons bonded to R^{25} and R^{27};

R^{28} and R^{29} are independently selected from the group consisting of H, and substituted and unsubstituted alkyl groups;

wherein R^{28} and R^{29} together can represent a double bond between the carbon atoms bonded to R^{28} and R^{29}; and

prodrugs thereof, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

19. A compound of claim 18, wherein one or more of $R^{4'}$, R^{11}, R^{13}, R^{14}, and R^{15} is H.

20. A compound of claim 18, wherein R^{12} is selected from the group consisting of substituted and unsubstituted arylalkyl groups, and substituted and unsubstituted heteroarylalkyl groups.

21. A compound of claim 18, wherein $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups.

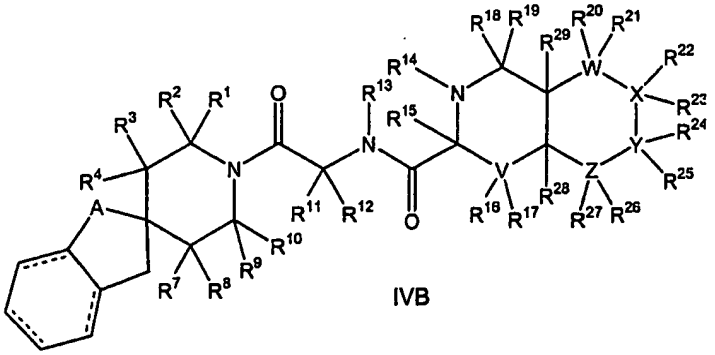
22. A compound of claim 18, wherein $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups.

23. A compound of claim 18, wherein $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted dialkylaminoethyl, 4-ethylbenzyl, 3-chlorobenzyl, 2,4-dichlorobenzyl, 3-methylbenzyl, benzyl, 4-fluorobenzyl, 3-methoxybenzyl, 2-chlorobenzyl, and thiophene groups.

24. A compound of claim 18, wherein $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl group.

25. A compound of claim 18, wherein R^5 and R^6, together with carbon atom to which they are bound, form a substituted or unsubstituted carbocyclic or heterocyclic ring.

26. A compound of claim 18 having the formula IVB:

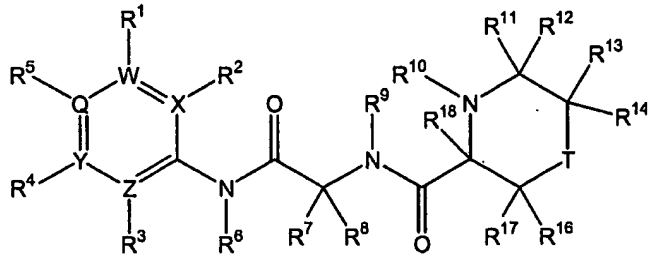


IVB

wherein the dotted lines in the 6-membered carbocyclic ring indicate that the 6-membered ring is a substituted or unsubstituted cyclohexyl or benzene ring; and

further wherein A is independently selected from the group consisting of a CH_2 group, a C(=O) group, a NH group, a substituted or unsubstituted N(alkyl) group, a C(H)(C(=O)-O(alkyl)) group, a C(H)(C(=O)-NH_2) group, a C(H)(C(=O)-N(H)(alkyl)) group, a C(H)(C(=O)-N(H)(aryl)) group, a C(H)(C(=O)-N(alkyl)$_2$) group, a C(H)(C(=O)-N(aryl)$_2$) group, substituted and unsubstituted alkoxyalkyl groups, and substituted and unsubstituted arylalkyl groups.

27. A compound of formula VA:



VA

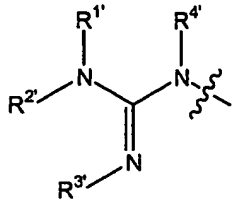
wherein

T is selected from the group consisting of O, S, and NR^{15} groups;

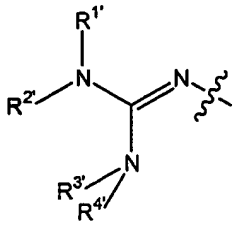
Q, W, X, Y, and Z are independently selected from the group consisting of carbon atoms and nitrogen atoms;

R^1, R^2, R^3, R^4, and R^5 may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl,

cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB;



IIA



IIB

wherein R^1 may be absent if W is a nitrogen atom;

wherein R^2 may be absent if X is a nitrogen atom;

wherein R^3 may be absent if Z is a nitrogen atom;

wherein R^4 may be absent if Y is a nitrogen atom;

wherein R^5 may be absent if Q is a nitrogen atom;

wherein one of R^1, R^2, R^3, R^4, or R^5 is a group having the formula IIA or IIB;

$R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

or $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl or heteroaryl group;

$R^{3'}$ is selected from the group consisting of H, substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl,

heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups;

R^6 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted alkynyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^7 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

R^8 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups including arylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heteroarylalkyl groups including heteroarylalkyl groups substituted with groups of formula IIA or IIB, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^9 is selected from the group consisting H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^{10} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^{11}, R^{12}, R^{13}, R^{14}, R^{16}, and R^{17} are selected from the group consisting of H, Cl, F, Br, I, -CN, -OH, -NO_2, substituted and unsubstituted aryl groups, substituted and unsubstituted –C(=O)-alkyl groups, substituted and unsubstituted alkylcarbonylalkyl groups, substituted and unsubstituted alkoxy groups, substituted and unsubstituted aryloxy groups, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted –NH_2 groups, substituted and unsubstituted N(H)(alkyl) groups, substituted and unsubstituted –NH(aryl) groups, substituted and unsubstituted –NH(heterocyclyl) groups, substituted and unsubstituted –N(alkyl)(aryl) groups,

substituted and unsubstituted –N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -N(aryl)(heterocyclyl) groups, substituted and unsubstituted –$N(alkyl)_2$ groups, substituted and unsubstituted –$N(aryl)_2$ groups, substituted and unsubstituted -$N(heterocyclyl)_2$ groups, -C(=O)-OH groups, substituted and unsubstituted -C(=O)-O(alkyl) groups; substituted and unsubstituted amide groups, substituted and unsubstituted sulfone groups, and substituted and unsubstituted sulfonamide groups;

R^{15} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

or R^{12} and R^{14} together with the carbon atoms to which they are bound, may form a substituted or unsubstituted, saturated or unsaturated carbocyclic or heterocyclic ring comprising 5 or 6 members;

or R^{14} and R^{15} together with the atoms to which they are bound, may form a substituted or unsubstituted, saturated or unsaturated heterocyclic ring comprising 5 or 6 members;

R^{18} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups; and

prodrugs thereof, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

28. A compound of claim 27, wherein one or more of R^{18}, R^6, R^9, R^{10}, R^7, and $R^{4'}$ is H.

29. A compound of claim 27, wherein R^8 is selected from the group consisting of substituted and unsubstituted arylalkyl groups, and substituted and unsubstituted heteroarylalkyl groups.

30. A compound of claim 27, wherein $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups.

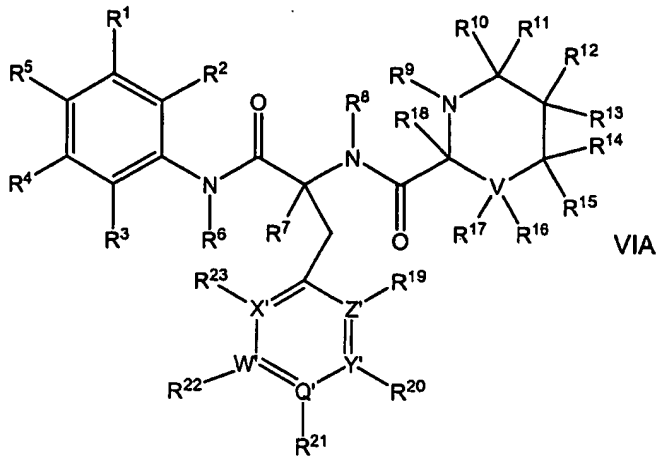
31. A compound of claim 27, wherein $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups.

32. A compound of claim 27, wherein $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl group.

33. A compound of claim 27, wherein T is an NR^{15} group and R^{14} and R^{15} together with the atoms to which they are bound form a substituted or unsubstituted heterocyclic ring comprising 6 members.

34. A compound of claim 27, wherein Q is a carbon atom and R^5 is a group of formula IIA or IIB.

35. A compound of formula VIA:



VIA

wherein

V is selected from a carbon atom or is absent from the ring such that the carbon atom bonded to R^{15} is bonded to the carbon atom bonded to R^{18} forming a 5-membered ring;

Q', W', X', Y', and Z' are independently selected from the group consisting of carbon atoms and nitrogen atoms;

R^1, R^2, R^3, R^4, and R^5 may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB;

R^6 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and

unsubstituted alkynyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^7 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

R^8 is selected from the group consisting H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^9 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^{10}, R^{11}, R^{12}, R^{15}, R^{16}, and R^{17} are selected from the group consisting of H, Cl, F, Br, I, -CN, -OH, $-NO_2$, substituted and unsubstituted aryl, substituted and unsubstituted –C(=O)-alkyl groups, substituted and unsubstituted alkylcarbonylalkyl groups, substituted and

unsubstituted alkoxy groups, substituted and unsubstituted aryloxy groups, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted $-NH_2$ groups, substituted and unsubstituted N(H)(alkyl) groups, substituted and unsubstituted –NH(aryl) groups, substituted and unsubstituted –NH(heterocyclyl) groups, substituted and unsubstituted –N(alkyl)(aryl) groups, substituted and unsubstituted –N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -N(aryl)(heterocyclyl) groups, substituted and unsubstituted $-N(alkyl)_2$ groups, substituted and unsubstituted $-N(aryl)_2$ groups, substituted and unsubstituted $-N(heterocyclyl)_2$ groups, -C(=O)-OH groups, substituted and unsubstituted -C(=O)-O(alkyl) groups; substituted and unsubstituted amide groups, substituted and unsubstituted sulfone groups, and substituted and unsubstituted sulfonamide groups;

wherein R^{12} and R^{15} together can represent a double bond between the carbon atoms bonded to R^{12} and R^{15};

wherein R^{16} and R^{17} are absent if V is absent;

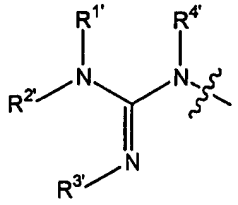
R^{13} and R^{14} are selected from the group consisting of H, and substituted and unsubstituted alkyl groups;

or R^{13} and R^{14} together with the two carbon atoms to which they are bound form a substituted or unsubstituted, saturated or unsaturated, carbocyclic or heterocyclic ring comprising 5, 6, or 7 members;

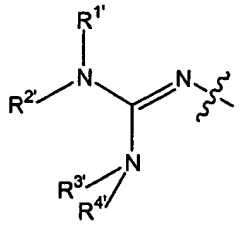
R^{18} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

R^{19}, R^{20}, R^{21}, R^{22}, and R^{23} may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F,

Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB;



IIA



IIB

wherein R^{22} may be absent if W' is a nitrogen atom;

wherein R^{23} may be absent if X' is a nitrogen atom;

wherein R^{19} may be absent if Z' is a nitrogen atom;

wherein R^{20} may be absent if Y' is a nitrogen atom;

wherein R^{21} may be absent if Q' is a nitrogen atom;

wherein one of R^{19}, R^{20}, R^{21}, R^{22}, or R^{23} is a group having the formula IIA or IIB;

$R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

or $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl or heteroaryl group;

$R^{3'}$ is selected from the group consisting of H, substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups; and heteroarylalkyl group; and

prodrugs thereof, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

36. A compound of claim 35, wherein one or more of $R^{4'}$, R^6, R^7, R^8, R^9, and R^{18} is H.

37. A compound of claim 35, wherein $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups.

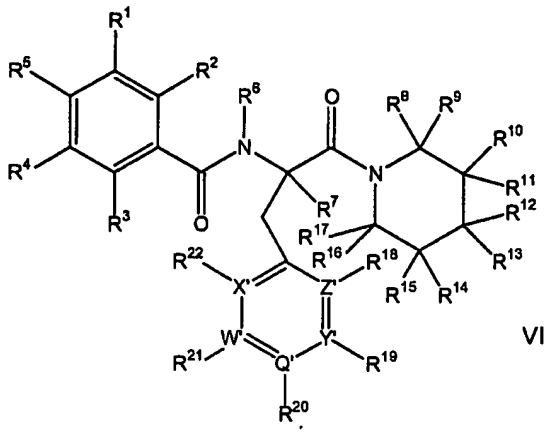
38. A compound of claim 35, wherein $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups.

39. A compound of claim 35, wherein $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl group.

40. A compound of claim 35, wherein R^{13} and R^{14} together with the two carbon atoms to which they are bound form a substituted or unsubstituted carbocyclic ring comprising 6 members.

41. A compound of claim 35, wherein Q' is a carbon atom and R^{21} is a group of formula IIA or IIB.

42. A compound having the formula VIIA:



VIIA

wherein

Q', W', X', Y', and Z' are independently selected from the group consisting of carbon atoms and nitrogen atoms;

R^1, R^2, R^3, R^4, and R^5 may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB;

R^6 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted alkynyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^7 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

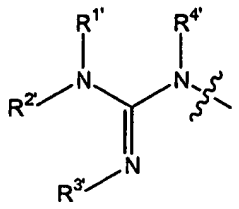
R^8, R^9, R^{10}, R^{11}, R^{14}, R^{15}, R^{16}, and R^{17} are selected from the group consisting of H, Cl, F, Br, I, OH, -CN, $-NO_2$ substituted and unsubstituted alkoxy groups, and substituted and unsubstituted alkyl groups;

R^{12} and R^{13} are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted -C(=O)-O(alkyl) groups, substituted and unsubstituted -C(=O)-O(aryl) groups, -C(=O)-OH groups, $-C(=O)-NH_2$ groups, substituted and unsubstituted -C(=O)-N(H)(alkyl) groups, substituted and unsubstituted $-C(=O)-N(alkyl)_2$ groups, substituted and unsubstituted -C(=O)-N(H)(aryl) groups, substituted and unsubstituted -C(=O)-N(aryl)(alkyl) groups, substituted and unsubstituted $-C(=O)-N(aryl)_2$ groups, substituted and unsubstituted -C(=O)-N(H)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(aryl)(heterocyclyl) groups, substituted and unsubstituted $-C(=O)-N(heterocyclyl)_2$ groups; and substituted and unsubstituted alkylsulfonylalkyl groups;

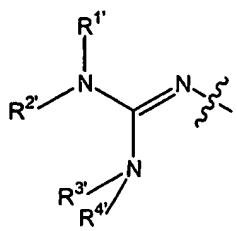
wherein R^{12} and R^{13} may join together with the carbon to which they are bound form a substituted or unsubstituted carbocyclic or heterocyclic ring including carbocyclic and heterocyclic rings substituted by a group of formula IIA or IIB;

R^{18}, R^{19}, R^{20}, R^{21}, and R^{22} may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino,

heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB;



IIA



IIB

wherein R^{21} may be absent if W' is a nitrogen atom;

wherein R^{22} may be absent if X' is a nitrogen atom;

wherein R^{18} may be absent if Z' is a nitrogen atom;

wherein R^{19} may be absent if Y' is a nitrogen atom;

wherein R^{20} may be absent if Q' is a nitrogen atom;

wherein one of R^{18}, R^{19}, R^{20}, R^{21}, or R^{22} is a group having the formula IIA or IIB;

$R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

or $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl or heteroaryl group;

$R^{3'}$ is selected from the group consisting of H and substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups; and

prodrugs thereof, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

43. A compound of claim 42, wherein one or more of $R^{4'}$, R^6, and R^7 is H.

44. A compound of claim 42, wherein $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups.

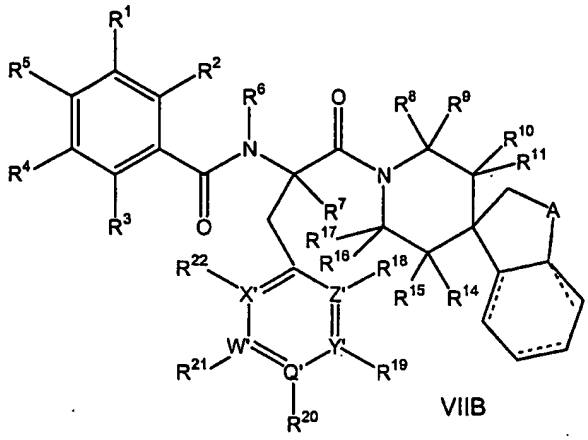
45. A compound of claim 42, wherein $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups.

46. A compound of claim 42, wherein $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound form a substituted or unsubstituted heterocyclyl group.

47. A compound of claim 42, wherein R^{12} and R^{13}, together with the carbon atom to which they are bound, form a substituted or unsubstituted carbocyclic or heterocyclic ring.

48. A compound of claim 42, wherein Q' is a carbon atom and R^{20} is a group of formula IIA or IIB.

49. A compound of claim 42 having formula VIIB:

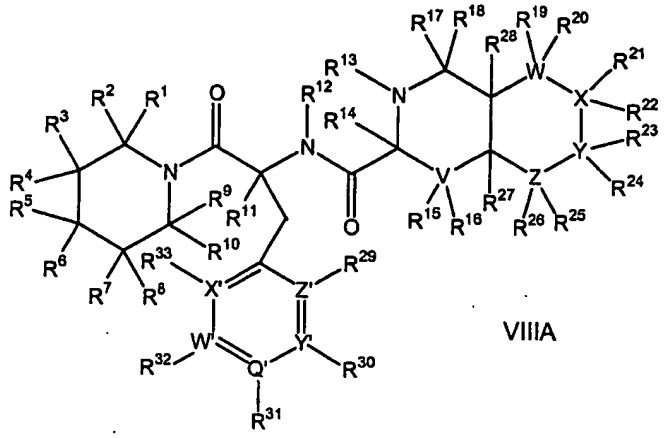


VIIB

wherein the dotted lines in the 6-membered carbocyclic ring indicate that the 6-membered ring is a substituted or unsubstituted cyclohexyl or benzene ring; and

further wherein A is independently selected from the group consisting of a CH_2 group, a C(=O) group, a NH group, a substituted or unsubstituted N(alkyl) group, a C(H)(C(=O)-O(alkyl)) group, a C(H)(C(=O)-NH_2) group, a C(H)(C(=O)-N(H)(alkyl)) group, a C(H)(C(=O)-N(H)(aryl)) group, a C(H)(C(=O)-N(alkyl)$_2$) group, a C(H)(C(=O)-N(aryl)$_2$) group, substituted and unsubstituted alkoxyalkyl groups, and substituted and unsubstituted arylalkyl groups.

50. A compound of formula VIIIA:



VIIIA

wherein

V is selected from a carbon atom or is absent from the ring such that the carbon atom bonded to R^{27} is bonded to the carbon atom bonded to R^{14} forming a 5-membered ring;

W, X, Y, and Z are independently selected from the group consisting of carbon atoms and nitrogen atoms;

Q', W', X', Y', and Z' are independently selected from the group consisting of carbon atoms and nitrogen atoms;

R^1, R^2, R^3, R^4, R^7, R^8, R^9, and R^{10} are independently selected from the group consisting of H, Cl, F, Br, I, OH, -CN, $-NO_2$ substituted and unsubstituted alkoxy groups, and substituted and unsubstituted alkyl groups;

R^5 and R^6 are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted -C(=O)-O(alkyl) groups, substituted and unsubstituted -C(=O)-O(aryl) groups, -C(=O)-OH groups, $-C(=O)-NH_2$ groups, substituted and unsubstituted -C(=O)-N(H)(alkyl)

groups, substituted and unsubstituted -C(=O)-N(alkyl)$_2$ groups, substituted and unsubstituted -C(=O)-N(H)(aryl) groups, substituted and unsubstituted -C(=O)-N(aryl)(alkyl) groups, substituted and unsubstituted -C(=O)-N(aryl)$_2$ groups, substituted and unsubstituted -C(=O)-N(H)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(aryl)(heterocyclyl) groups, substituted and unsubstituted -C(=O)-N(heterocyclyl)$_2$ groups; and substituted and unsubstituted alkylsulfonylalkyl groups;

wherein R^5 and R^6 may join together with the carbon to which they are bound form a substituted or unsubstituted carbocyclic or heterocyclic ring including carbocyclic or heterocyclic rings substituted with a group of formula IIA or IIB;

R^{11} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

R^{12} and R^{13} are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^{14}, R^{15}, R^{16}, R^{17}, and R^{18} are independently selected from H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

wherein R^{15} and R^{16} are absent if V is absent;

R^{19}, R^{20}, R^{21}, R^{22}, R^{23}, R^{24}, R^{25}, and R^{26} are independently selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

wherein R^{19} may be absent if W is a nitrogen atom;

wherein R^{21} may be absent if X is a nitrogen atom;

wherein R^{23} may be absent if Y is a nitrogen atom;

wherein R^{25} may be absent if Z is a nitrogen atom;

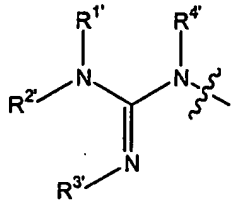
wherein R^{20} and R^{22} together can represent a double bond between the carbons bonded to R^{20} and R^{22};

wherein R^{24} and R^{26} together can represent a double bond between the carbons bonded to R^{24} and R^{26};

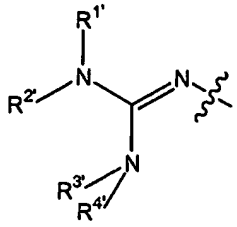
R^{27} and R^{28} are independently selected from the group consisting of H, and substituted and unsubstituted alkyl groups;

wherein R^{27} and R^{28} together can represent a double bond between the carbon atoms bonded to R^{27} and R^{28};

R^{29}, R^{30}, R^{31}, R^{32}, and R^{33} may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups having the formula IIA or IIB;



IIA



IIB

wherein R^{31} may be absent if Q' is a nitrogen atom'

wherein R^{32} may be absent if W' is a nitrogen atom;

wherein R^{33} may be absent if X' is a nitrogen atom;

wherein R^{30} may be absent if Y' is a nitrogen atom;

wherein R^{29} may be absent if Z' is a nitrogen atom;

wherein one of R^{29}, R^{30}, R^{31}, R^{32}, and R^{33} is a group having the formula IIA or IIB;

$R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

or $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl or heteroaryl group;

$R^{3'}$ is selected from the group consisting of H, substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups; and

prodrugs thereof, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

51. A compound of claim 50, wherein one or more of $R^{4'}$, R^{11}, R^{12}, and R^{13} is H.

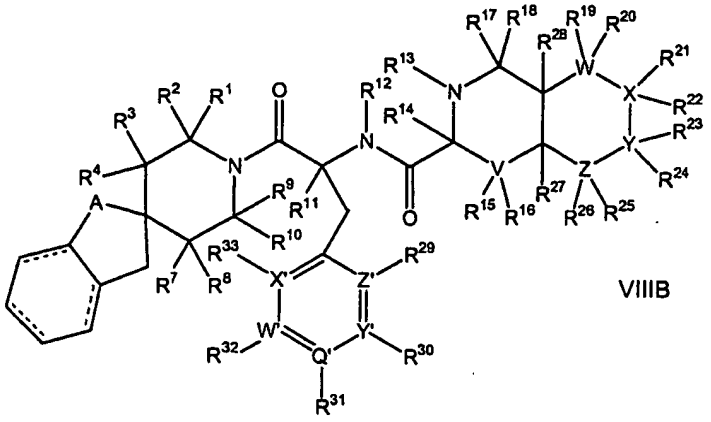
52. A compound of claim 50, wherein $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups.

53. A compound of claim 50, wherein $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups.

54. A compound of claim 50, wherein $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl group.

55. A compound of claim 50, wherein R^5 and R^6 together with the carbon atom to which they are bound, form a substituted or unsubstituted carbocyclic or heterocyclic ring.

56. A compound of claim 50 having formula VIIIB:

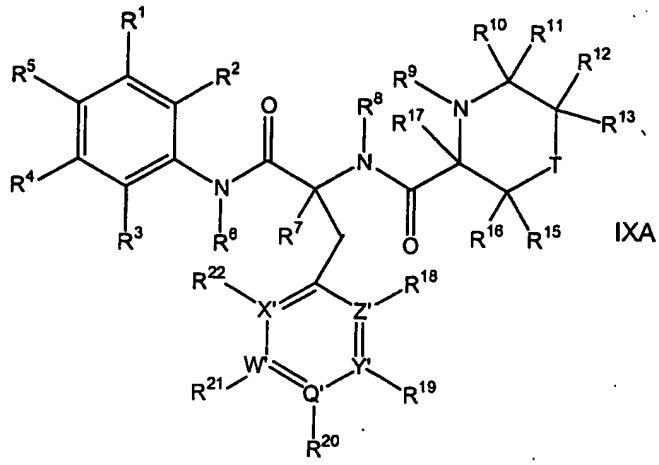


VIIIB

wherein the dotted lines in the 6-membered carbocyclic ring indicate that the 6-membered ring is a substituted or unsubstituted cyclohexyl or benzene ring; and

further wherein A is independently selected from the group consisting of a CH_2 group, a C(=O) group, a NH group, a substituted or unsubstituted N(alkyl) group, a C(H)(C(=O)-O(alkyl)) group, a C(H)(C(=O)-NH_2) group, a C(H)(C(=O)-N(H)(alkyl)) group, a C(H)(C(=O)-N(H)(aryl)) group, a C(H)(C(=O)-N(alkyl)$_2$) group, a C(H)(C(=O)-N(aryl)$_2$) group, substituted and unsubstituted alkoxyalkyl groups, and substituted and unsubstituted arylalkyl groups.

57. A compound of formula IXA:



IXA

wherein

T is selected from the group consisting of O, S, and NR^{14} groups;

Q', W', X', Y', and Z' are independently selected from the group consisting of carbon atoms and nitrogen atoms;

R^1, R^2, R^3, R^4, and R^5 may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB;

R^6 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted alkynyl groups, substituted and unsubstituted arylalkyl groups,

substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^7 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

R^8 is selected from the group consisting H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^9 is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

R^{10}, R^{11}, R^{12}, R^{13}, R^{15}, and R^{16} are selected from the group consisting of H, Cl, F, Br, I, -CN, -OH, $-NO_2$, substituted and unsubstituted aryl, substituted and unsubstituted –C(=O)-alkyl groups, substituted and unsubstituted alkylcarbonylalkyl groups, substituted and unsubstituted alkoxy groups, substituted and unsubstituted aryloxy groups,

substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted $-NH_2$ groups, substituted and unsubstituted N(H)(alkyl) groups, substituted and unsubstituted –NH(aryl) groups, substituted and unsubstituted –NH(heterocyclyl) groups, substituted and unsubstituted –N(alkyl)(aryl) groups, substituted and unsubstituted –N(alkyl)(heterocyclyl) groups, substituted and unsubstituted -N(aryl)(heterocyclyl) groups, substituted and unsubstituted $-N(alkyl)_2$ groups, substituted and unsubstituted $-N(aryl)_2$ groups, substituted and unsubstituted $-N(heterocyclyl)_2$ groups, -C(=O)-OH groups, substituted and unsubstituted -C(=O)-O(alkyl) groups; substituted and unsubstituted amide groups, substituted and unsubstituted sulfone groups, and substituted and unsubstituted sulfonamide groups;

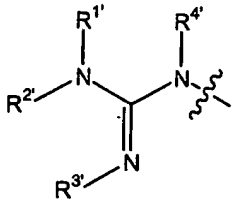
R^{14} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, substituted and unsubstituted aryl groups, substituted and unsubstituted heterocyclyl groups, substituted and unsubstituted heteroaryl groups, substituted and unsubstituted alkenyl groups, substituted and unsubstituted arylalkyl groups, substituted and unsubstituted heteroarylalkyl groups, substituted and unsubstituted heterocyclylalkyl groups, substituted and unsubstituted cycloalkylalkyl groups, and substituted and unsubstituted aminoalkyl groups;

or R^{11} and R^{13} together with the carbon atoms to which they are bound, may form a substituted or unsubstituted, saturated or unsaturated carbocyclic or heterocyclic ring comprising 5 or 6 members;

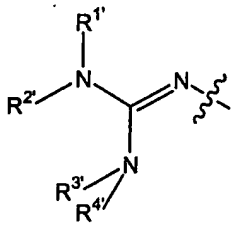
or R^{13} and R^{14} together with the atoms to which they are bound, may form a substituted or unsubstituted, saturated or unsaturated heterocyclic ring comprising 5 or 6 members;

R^{17} is selected from the group consisting of H, substituted and unsubstituted alkyl groups, substituted and unsubstituted cycloalkyl groups, and substituted and unsubstituted aryl groups;

R^{18}, R^{19}, R^{20}, R^{21}, and R^{22} may be the same or different, and are each independently selected from the group consisting of H, Cl, I, F, Br, OH, NH_2, CN, NO_2, and substituted and unsubstituted aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, alkylamino, dialkylamino, cycloalkyl, heterocyclylamino, heteroarylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, heterocyclylaminocarbonyl, heteroarylaminocarbonyl groups, and groups of formula IIA or IIB;



IIA



IIB

wherein R^{21} may be absent if W' is a nitrogen atom;

wherein R^{22} may be absent if X' is a nitrogen atom;

wherein R^{18} may be absent if Z' is a nitrogen atom;

wherein R^{19} may be absent if Y' is a nitrogen atom;

wherein R^{20} may be absent if Q' is a nitrogen atom;

wherein one of R^{18}, R^{19}, R^{20}, R^{21}, and R^{22} is a group having the formula IIA or IIB;

$R^{1'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

or $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl or heteroaryl group;

$R^{3'}$ is selected from the group consisting of H, substituted and unsubstituted aryl, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, and cycloalkylalkyl groups;

$R^{4'}$ is selected from the group consisting of H, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl groups, heterocyclylalkyl groups, cycloalkylalkyl, aryl, heteroaryl groups, heterocyclyl groups, arylalkyl groups, and heteroarylalkyl groups; and

prodrugs thereof, pharmaceutically acceptable salts thereof, stereoisomers thereof, tautomers thereof, hydrates thereof, hydrides thereof, and solvates thereof.

58. A compound of claim 57, wherein one or more of $R^{4'}$, R^6, R^7, R^8, R^9, and R^{17} is H.

59. A compound of claim 57, wherein $R^{3'}$ is selected from the group consisting of substituted and unsubstituted cycloalkyl, polycyclic cycloalkyl, alkenyl, alkyl, and aryl groups.

60. A compound of claim 57, wherein $R^{1'}$ is H and $R^{2'}$ is selected from the group consisting of substituted and unsubstituted alkyl, arylalkyl, and heteroarylalkyl groups.

61. A compound of claim 57, wherein $R^{1'}$ and $R^{2'}$, together with the nitrogen to which they are bound, form a substituted or unsubstituted heterocyclyl group.

62. A compound of claim 57, wherein T is an NR^{14} group and R^{13} and R^{14}, together with the two atoms to which they are bound, form a substituted or unsubstituted heterocyclic ring comprising 6 members.

63. A compound of claim 57, wherein Q' is a carbon atom and R^{20} is a group of formula IIA or IIB.

64. A pharmaceutical formulation or medicament, comprising at least one of the compounds of any one of claims 1, 9, 18, 27, 35, 42, 50, or 57 and a pharmaceutically acceptable carrier.

65. A method of treating an MC4-R mediated disease, comprising administering to a subject in need thereof, at least one of the compounds of any one of claims 1, 9, 18, 27, 35, 42, 50, or 57.

66. A method of claim 65, wherein the MC4-R mediated disease is obesity or type II diabetes.